Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	JAN 17	Pre-1988 INPI data added to MARPAT
NEWS 4	FEB 21	STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results
NEWS 5	FEB 22	The IPC thesaurus added to additional patent databases on STN
NEWS 6	FEB 22	Updates in EPFULL; IPC 8 enhancements added
NEWS 7	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 8	MAR 03	Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 9	MAR 08	X.25 communication option no longer available after June 2006
NEWS 10	MAR 22	EMBASE is now updated on a daily basis
NEWS 11	APR 03	New IPC 8 fields and IPC thesaurus added to PATDPAFULL
NEWS 12	APR 03	Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL
NEWS 13	APR 04	STN AnaVist $500 visualization usage credit offered
NEWS 14	APR 12	LINSPEC, learning database for INSPEC, reloaded and enhanced
NEWS 15	APR 12	Improved structure highlighting in FQHIT and QHIT display in MARPAT
NEWS 16	APR 12	Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected
NEWS 17	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 18	MAY 11	KOREAPAT updates resume

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
http://download.cas.org/express/v8.0-Discover/

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

* *

COMPLETE THE STN SURVEY - APRIL 27 THROUGH MAY 31

Dear valued STN customer,

In an effort to enhance your experience with STN, we would like to better understand what you find useful. Please take approximately 5 minutes to complete a web survey.

If you provide us with your name, login ID, and e-mail address, you will be entered in a drawing to win a free iPod(R). Your responses will be kept confidential and will help us make future improvements to STN.

Take survey: http://www.zoomerang.com/survey.zgi?p=WEB2259HNKWTUW

Thank you in advance for your participation.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:52:06 ON 14 MAY 2006

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:52:37 ON 14 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 MAY 2006 HIGHEST RN 884047-29-4
DICTIONARY FILE UPDATES: 12 MAY 2006 HIGHEST RN 884047-29-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

****
*

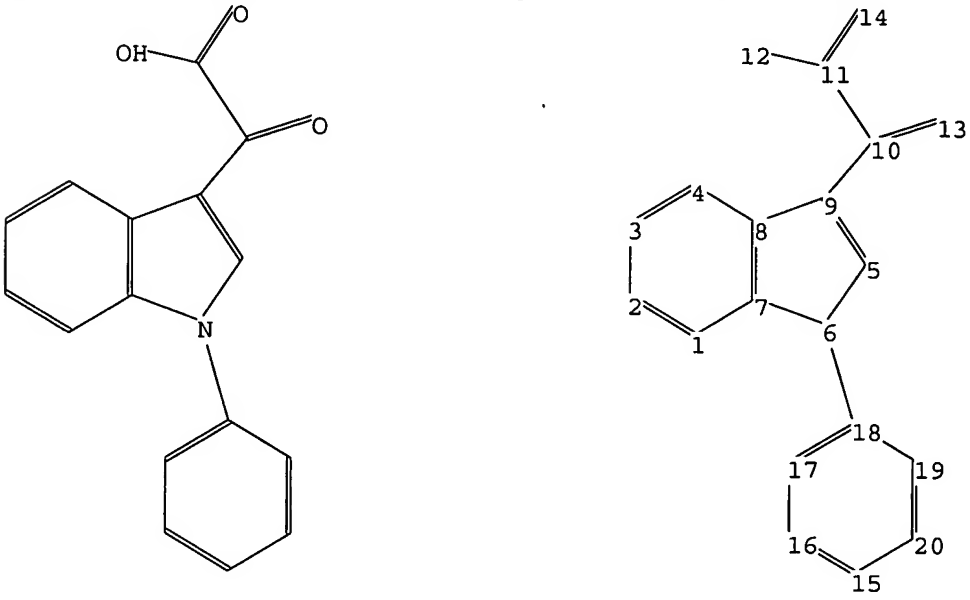
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10731308.str

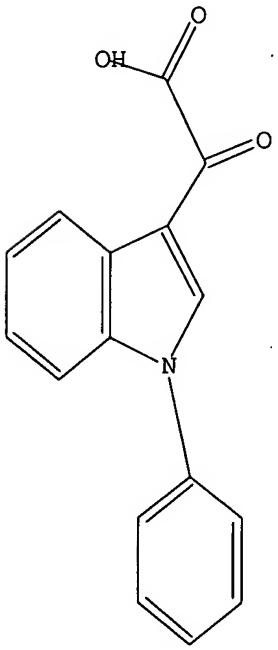


chain nodes :
10 11 12 13 14
ring nodes :
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20
chain bonds :
6-18 9-10 10-11 10-13 11-12 11-14
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 15-16 15-20 16-17 17-18 18-19
19-20
exact/norm bonds :
5-6 6-7 6-18 10-13
exact bonds :
5-9 8-9 9-10 10-11
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8 11-12 11-14 15-16 15-20 16-17 17-18 18-19
19-20
isolated ring systems :
containing 1 : 15 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 12:52:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5 TO 234
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

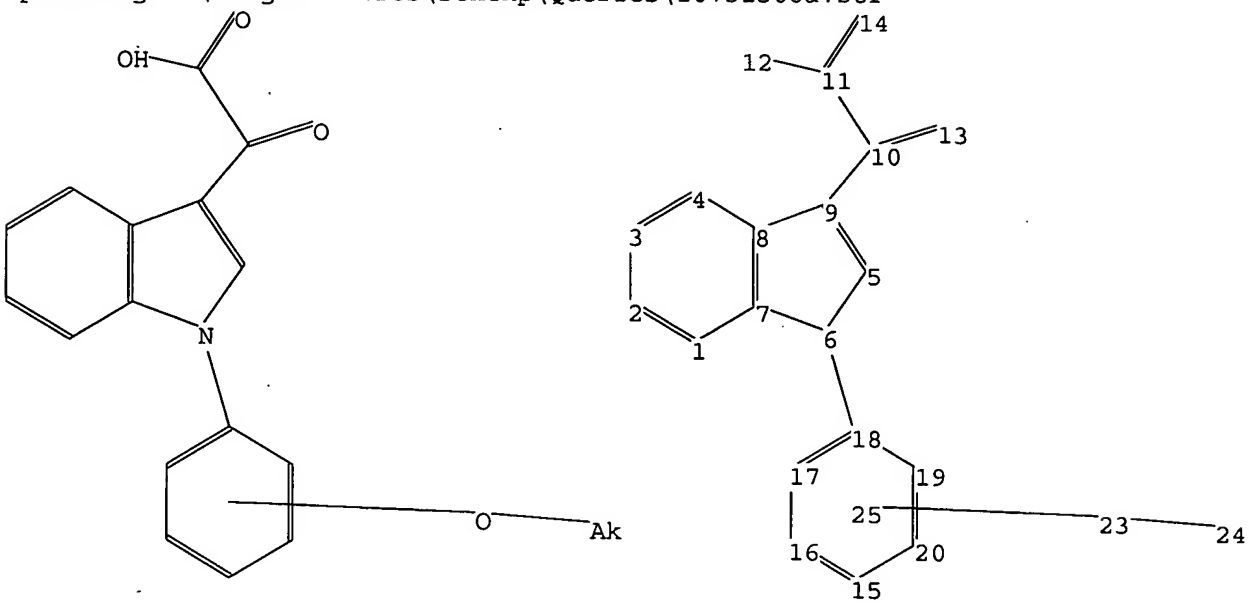
=> s l1 sss full

FULL SEARCH INITIATED 12:52:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 171 TO ITERATE

100.0% PROCESSED 171 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

L3 20 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10731308a.str



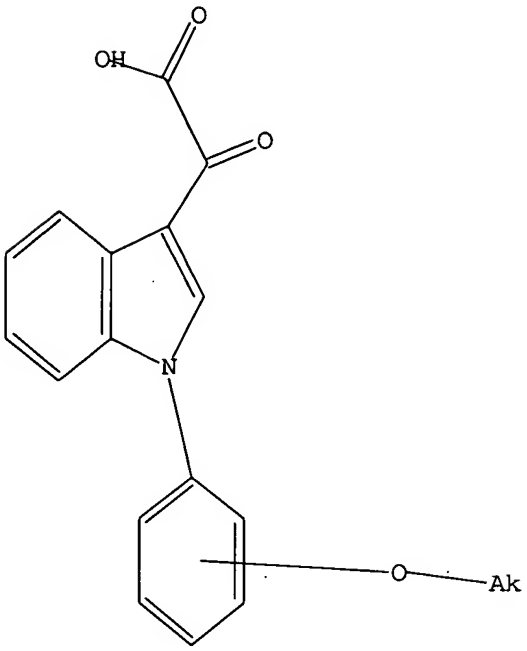
chain nodes :
10 11 12 13 14 23 24
ring nodes :
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20
chain bonds :
6-18 9-10 10-11 10-13 11-12 11-14 23-24
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 15-16 15-20 16-17 17-18 18-19
19-20
exact/norm bonds :
5-6 6-7 6-18 10-13 23-24
exact bonds :
5-9 8-9 9-10 10-11
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8 11-12 11-14 15-16 15-20 16-17 17-18 18-19
19-20
isolated ring systems :
containing 1 : 15 :

G1:O,S,NH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 23:CLASS 24:CLASS 25:CLASS

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



G1 O,S,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l4
SAMPLE SEARCH INITIATED 12:56:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5 TO 234
PROJECTED ANSWERS: 1 TO 80

L5 1 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 12:56:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 171 TO ITERATE

100.0% PROCESSED 171 ITERATIONS 20 ANSWERS
SEARCH TIME: 00.00.01

L6 20 SEA SSS FUL L4

=> d his

(FILE 'HOME' ENTERED AT 12:52:06 ON 14 MAY 2006)

FILE 'REGISTRY' ENTERED AT 12:52:37 ON 14 MAY 2006
L1 STRUCTURE UPLOADED
L2 1 S L1
L3 20 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 1 S L4
L6 20 S L4 SSS FULL

=> FIL HCAPLUS
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	336.08	336.29

FILE 'HCAPLUS' ENTERED AT 12:56:47 ON 14 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 14 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 12 May 2006 (20060512/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l6
L7 1 L6

=> s l3
L8 1 L3

=> FIL REGISTRY
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	10.12	346.41

FILE 'REGISTRY' ENTERED AT 12:59:20 ON 14 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 MAY 2006 HIGHEST RN 884047-29-4
DICTIONARY FILE UPDATES: 12 MAY 2006 HIGHEST RN 884047-29-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

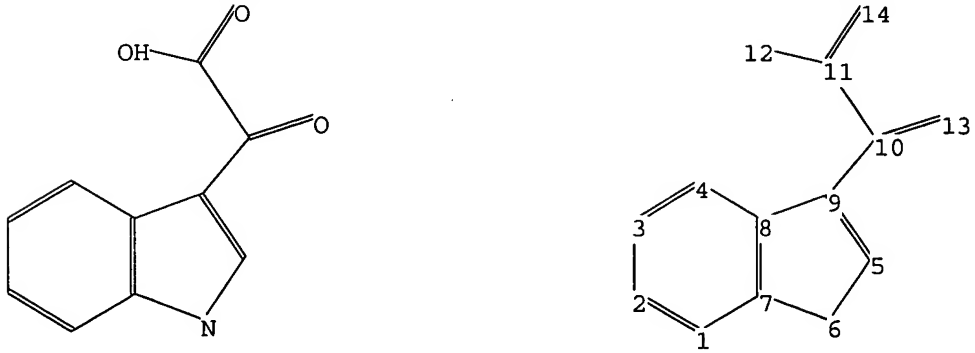
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10731308b.str



chain nodes :
10 11 12 13 14
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
9-10 10-11 10-13 11-12 11-14
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9
exact/norm bonds :
5-6 6-7 10-13

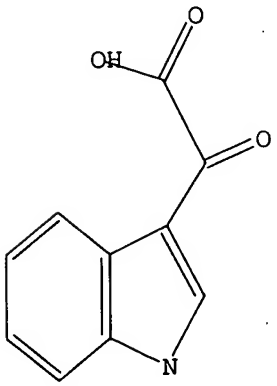
exact bonds :
5-9 8-9 9-10 10-11
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8 11-12 11-14
isolated ring systems :
containing 1 :

G1:O,S,NH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS

L9 STRUCTURE UPLOADED

=> d l9
L9 HAS NO ANSWERS
L9 STR



G1 O,S,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l9
SAMPLE SEARCH INITIATED 12:59:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 46 TO ITERATE

100.0% PROCESSED 46 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 514 TO 1326
PROJECTED ANSWERS: 11 TO 389

L10 10 SEA SSS SAM L9

=> s l9 sss full

FULL SEARCH INITIATED 12:59:47 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 938 TO ITERATE

100.0% PROCESSED 938 ITERATIONS 171 ANSWERS
SEARCH TIME: 00.00.01

L11 171 SEA SSS FUL L9

=> FIL HCAPLUS
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.94	513.35

FILE 'HCAPLUS' ENTERED AT 12:59:53 ON 14 MAY 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 14 May 2006 VOL 144 ISS 21
FILE LAST UPDATED: 12 May 2006 (20060512/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l11
L12 160 L11

=> s l12 and plasminogen inhibitor
27751 PLASMINOGEN
175 PLASMINOGENS
27761 PLASMINOGEN
(PLASMINOGEN OR PLASMINOGENS)
505775 INHIBITOR
515022 INHIBITORS
800779 INHIBITOR
(INHIBITOR OR INHIBITORS)
97 PLASMINOGEN INHIBITOR
(PLASMINOGEN(W)INHIBITOR)
L13 0 L12 AND PLASMINOGEN INHIBITOR

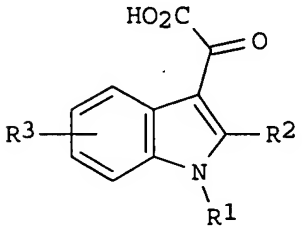
=> s l12 and plasminogen
27751 PLASMINOGEN
175 PLASMINOGENS
27761 PLASMINOGEN
(PLASMINOGEN OR PLASMINOGENS)
L14 8 L12 AND PLASMINOGEN

```
=> d his

     (FILE 'HOME' ENTERED AT 12:52:06 ON 14 MAY 2006)

     FILE 'REGISTRY' ENTERED AT 12:52:37 ON 14 MAY 2006
L1             STRUCTURE UPLOADED
L2           1 S L1
L3          20 S L1 SSS FULL
L4             STRUCTURE UPLOADED
L5           1 S L4
L6          20 S L4 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 12:56:47 ON 14 MAY 2006
L7           1 S L6
L8           1 S L3

     FILE 'REGISTRY' ENTERED AT 12:59:20 ON 14 MAY 2006
L9             STRUCTURE UPLOADED
L10         10 S L9
L11        171 S L9 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 12:59:53 ON 14 MAY 2006
L12        160 S L11
L13          0 S L12 AND PLASMINOGEN INHIBITOR
L14          8 S L12 AND PLASMINOGEN

=> d 17 ibib abs hitstr tot

L7  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2004:515481  HCAPLUS
DOCUMENT NUMBER:          141:71442
TITLE:                    Preparation of aryl, aryloxy, and alkyloxy substituted
                          1H-indol-3-yl glyoxylic acid derivatives as inhibitors
                          of plasminogen activator inhibitor-1 (PAI-1)
INVENTOR(S):              Jennings, Lee Dalton; Elokdah, Hassan Mahmoud;
                          McFarlane, Géraldine Ruth
PATENT ASSIGNEE(S):       Wyeth, John, and Brother Ltd., USA
SOURCE:                   PCT Int. Appl., 44 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     --------------       ----   --------    --------------------     --------
     WO 2004052854         A2    20040624    WO 2003-US38934          20031209
     WO 2004052854         A3    20040805
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
             NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
```

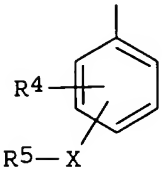
CA 2509191	AA	20040624	CA 2003-2509191	20031209
AU 2003296324	A1	20040630	AU 2003-296324	20031209
US 2004138283	A1	20040715	US 2003-731308	20031209
EP 1569901	A2	20050907	EP 2003-812847	20031209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016585	A	20051004	BR 2003-16585	20031209
JP 2006510673	T2	20060330	JP 2004-559413	20031209
PRIORITY APPLN. INFO.:			US 2002-432329P	P 20021210
			WO 2003-US38934	W 20031209

OTHER SOURCE(S): MARPAT 141:71442

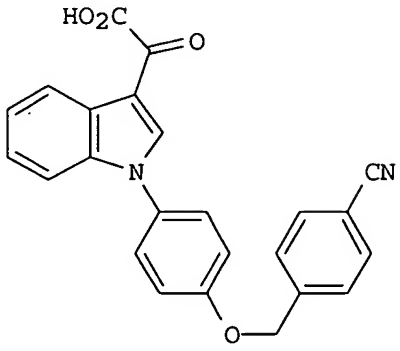
GI



I



II



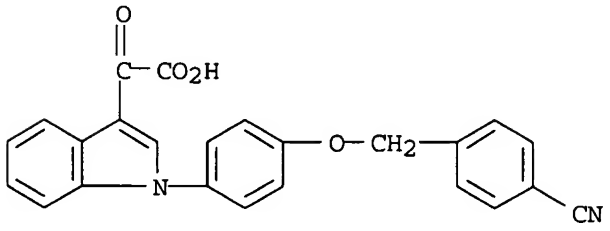
III

AB The title compds. [I; R1 = II (wherein R4 = H, halo, alkyl, etc.; X = O, S, NH; R5 = alkyl, perfluoroalkyl, cycloalkyl, etc.), alkyl, benzo[1,3]dioxol-5-ylmethyl, cycloalkylalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, halo, alkyl, etc.], useful as inhibitors of plasminogen activator inhibitor (PAI-1) for treating conditions resulting from fibrinolytic disorders, such as deep vein thrombosis, coronary heart disease and pulmonary fibrosis, were prepared E.g., a 4-step synthesis of III, starting from indole and 4-iodoanisole, which showed 23% PAI-1 inhibition at 25 μM, was given. The pharmaceutical composition comprising the compound I is claimed.

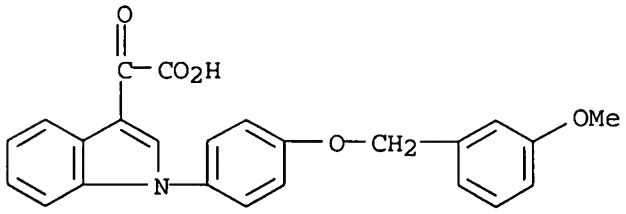
IT **710980-16-8P 710980-25-9P 710980-34-0P**
710980-42-0P 710980-48-6P 710980-54-4P
710980-61-3P 710980-68-0P 710980-75-9P
710980-80-6P 710980-85-1P 710980-90-8P
710980-95-3P 710981-00-3P 710981-04-7P
710981-10-5P 710981-16-1P 710981-23-0P
710981-32-1P 710981-41-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl, aryloxy, and alkyloxy substituted 1H-indol-3-yl glyoxylic acid derivs. as inhibitors of plasminogen activator inhibitor-1 (PAI-1))

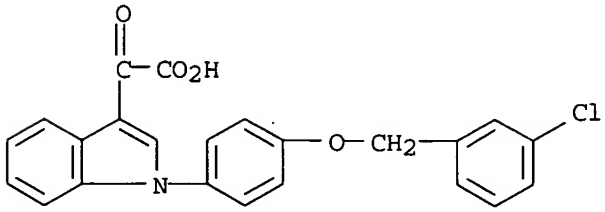
RN 710980-16-8 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(4-cyanophenyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



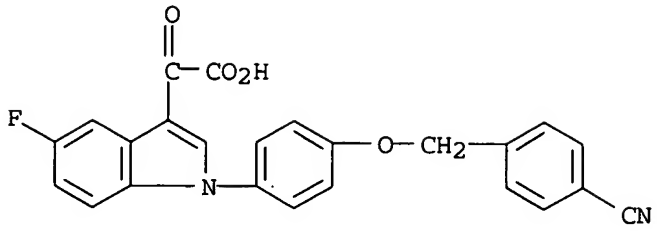
RN 710980-25-9 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(3-methoxyphenyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



RN 710980-34-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(3-chlorophenyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



RN 710980-42-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(4-cyanophenyl)methoxy]phenyl]-5-fluoro-α-oxo- (9CI) (CA INDEX NAME)



RN 710980-48-6 HCAPLUS
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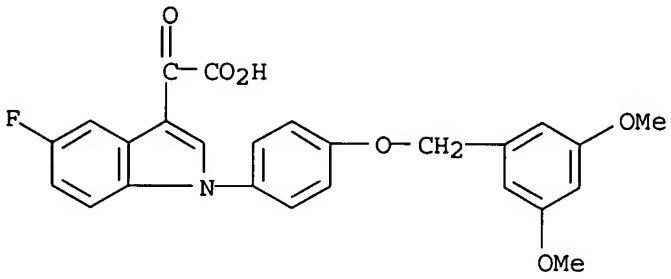

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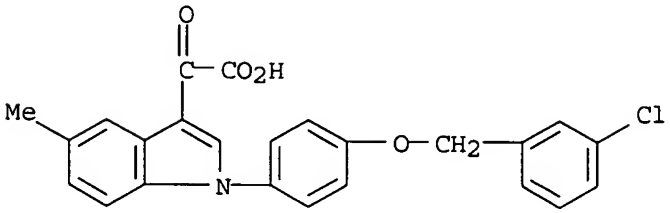

RN 710980-61-3 HCAPLUS
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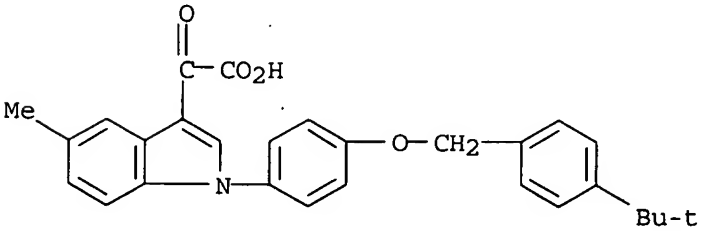

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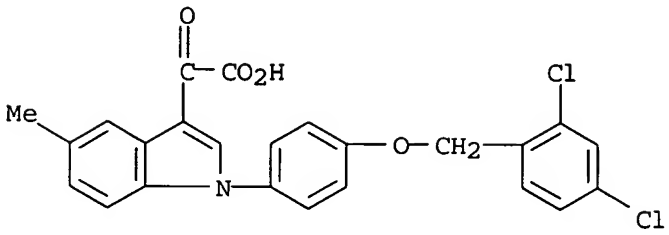
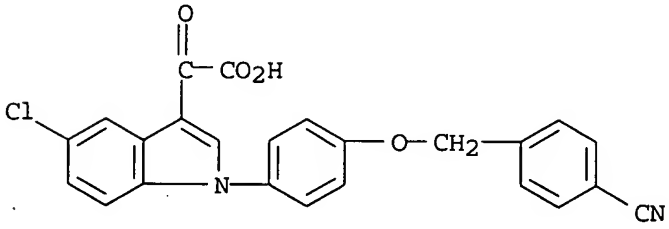
methyl-α-oxo- (9CI) (CA INDEX NAME)



RN 710980-75-9 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[(4-cyanophenyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



RN 710980-80-6 HCAPLUS
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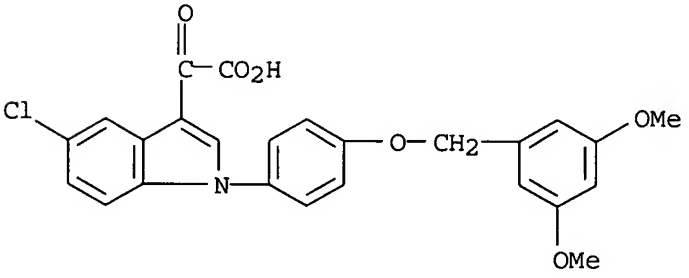

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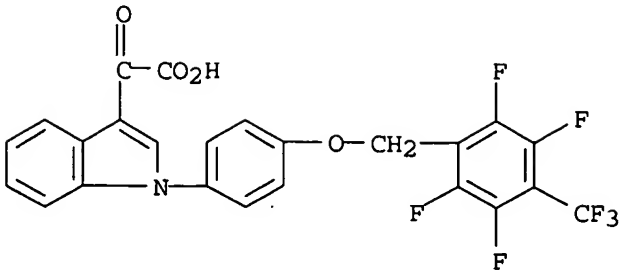

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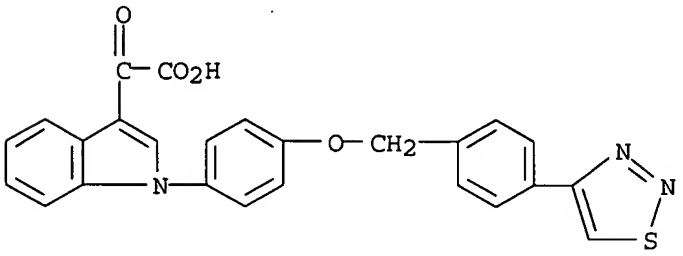

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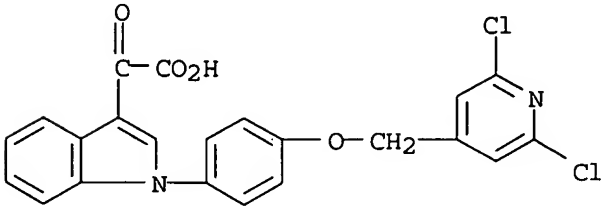

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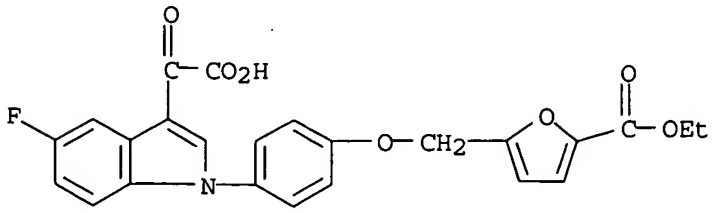

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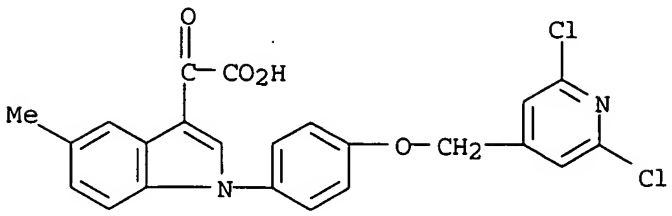
methyl-α-oxo- (9CI) (CA INDEX NAME)



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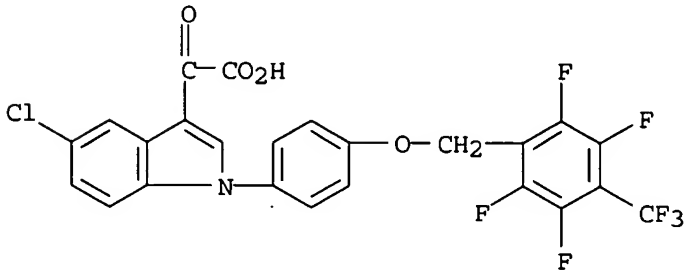

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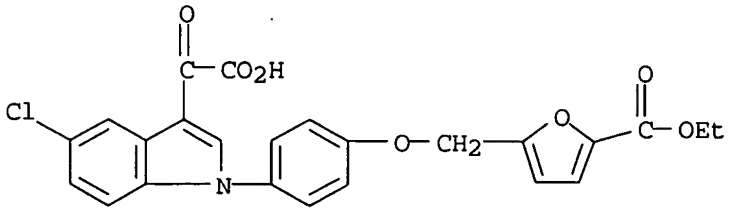

RN 710981-23-0 HCAPLUS
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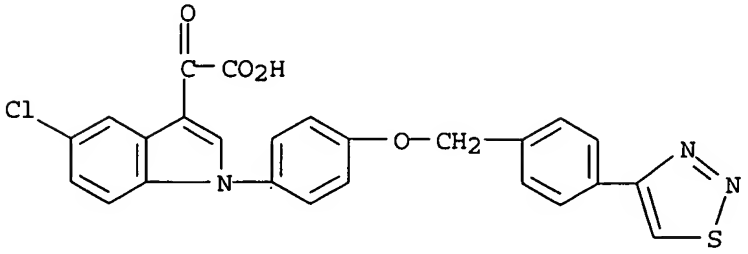

RN 710981-32-1 HCAPLUS
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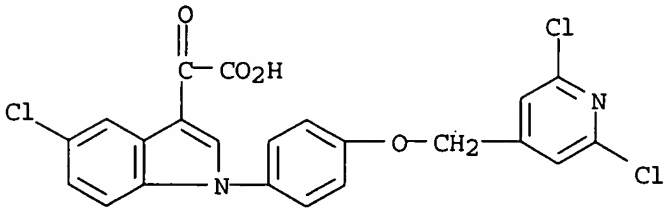

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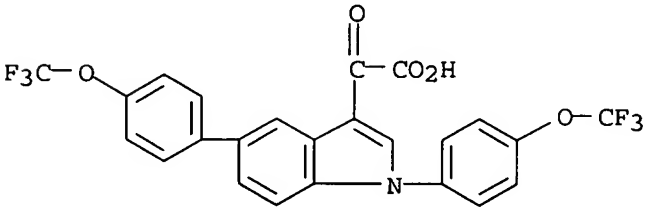

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L8 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:515481 HCAPLUS
DOCUMENT NUMBER: 141:71442
TITLE: Preparation of aryl, aryloxy, and alkyloxy substituted 1H-indol-3-yl glyoxylic acid derivatives as inhibitors of plasminogen activator inhibitor-1 (PAI-1)
INVENTOR(S): Jennings, Lee Dalton; Elokdah, Hassan Mahmoud; McFarlane, Geraldine Ruth
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052854	A2	20040624	WO 2003-US38934	20031209
WO 2004052854	A3	20040805		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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			WO 2003-US38934	W	20031209

OTHER SOURCE(S): MARPAT 141:71442

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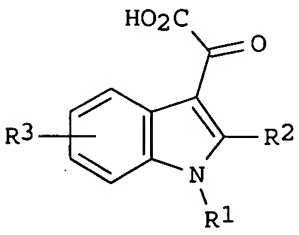

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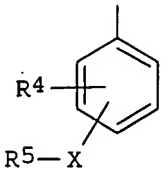

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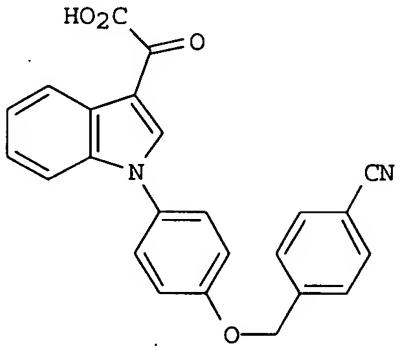


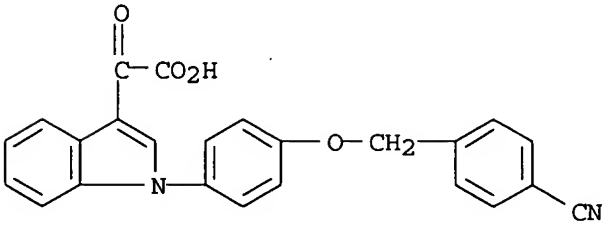
III

AB The title compds. [I; R1 = II (wherein R4 = H, halo, alkyl, etc.; X = O, S, NH; R5 = alkyl, perfluoroalkyl, cycloalkyl, etc.), alkyl, benzo[1,3]dioxol-5-ylmethyl, cycloalkylalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, halo, alkyl, etc.], useful as inhibitors of plasminogen activator inhibitor (PAI-1) for treating conditions resulting from fibrinolytic disorders, such as deep vein thrombosis, coronary heart disease and pulmonary fibrosis, were prepared E.g., a 4-step synthesis of III, starting from indole and 4-iodoanisole, which showed 23% PAI-1 inhibition at 25 μM, was given. The pharmaceutical composition comprising the compound I is claimed.

IT **710980-16-8P 710980-25-9P 710980-34-0P**
710980-42-0P 710980-48-6P 710980-54-4P
710980-61-3P 710980-68-0P 710980-75-9P
710980-80-6P 710980-85-1P 710980-90-8P

710980-95-3P 710981-00-3P 710981-04-7P
710981-10-5P 710981-16-1P 710981-23-0P
710981-32-1P 710981-41-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl, aryloxy, and alkyloxy substituted 1H-indol-3-yl glyoxylic acid derivs. as inhibitors of plasminogen activator inhibitor-1 (PAI-1))

RN 710980-16-8 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(4-cyanophenyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



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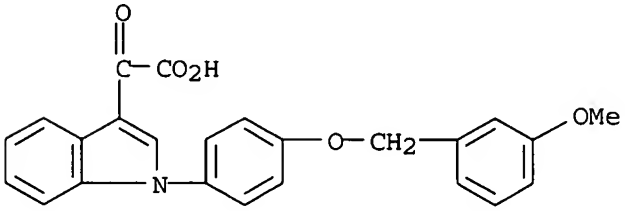

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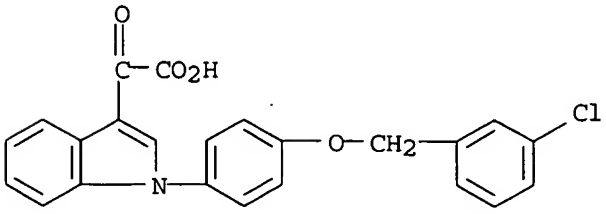

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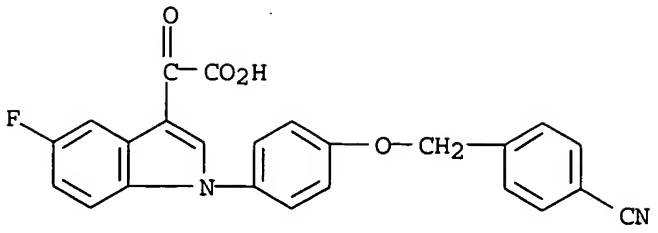

RN 710980-48-6 HCAPLUS
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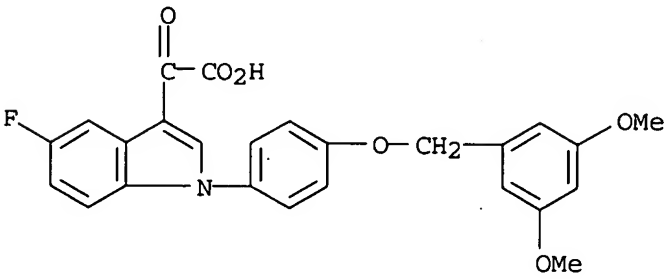

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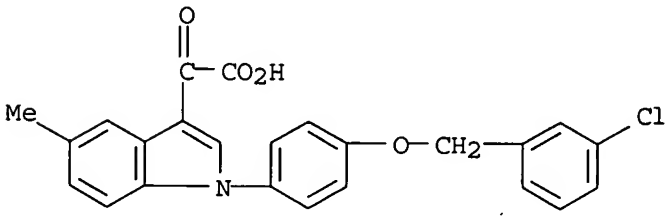

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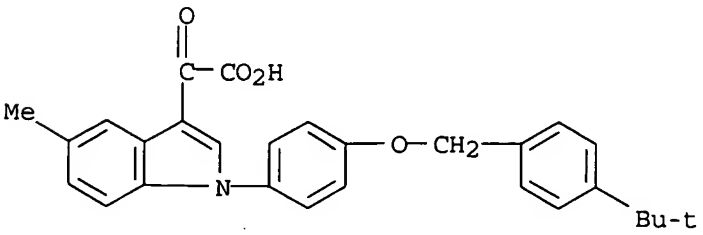

RN 710980-68-0 HCAPLUS
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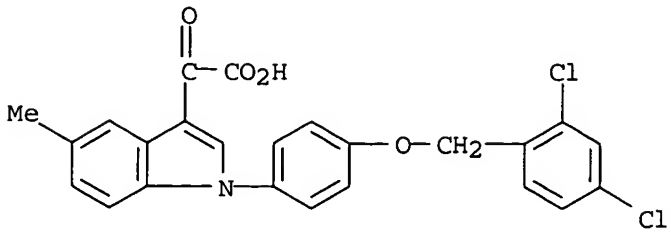
methyl-α-oxo- (9CI) (CA INDEX NAME)



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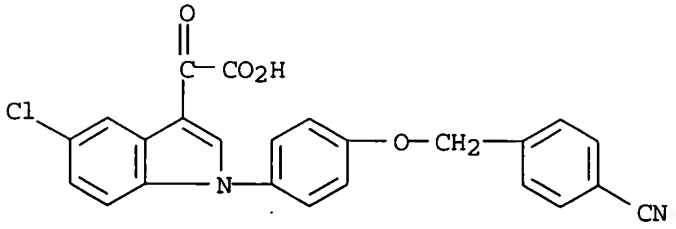
CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[(4-cyanophenyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



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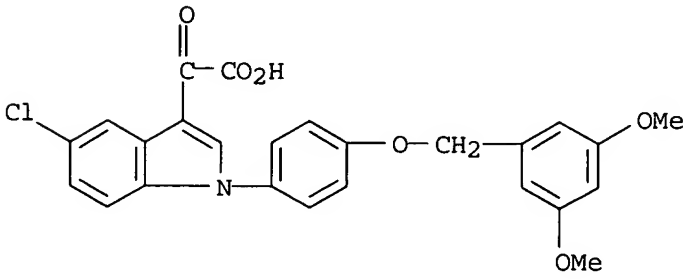
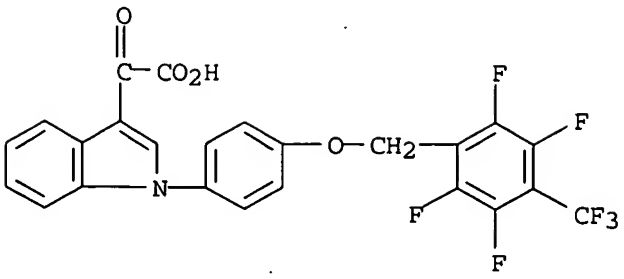
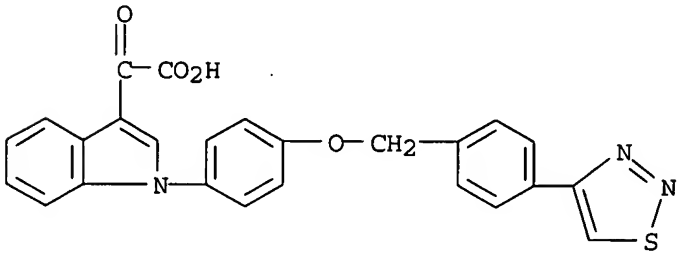


RN 710980-85-1 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-[4-[[2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 710980-90-8 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-[4-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 710980-95-3 HCAPLUS
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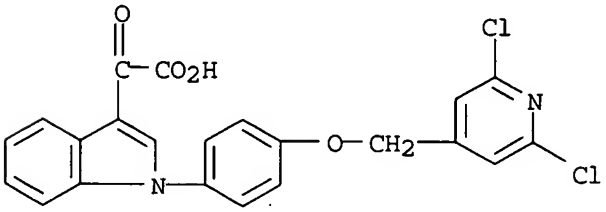

RN 710981-00-3 HCAPLUS
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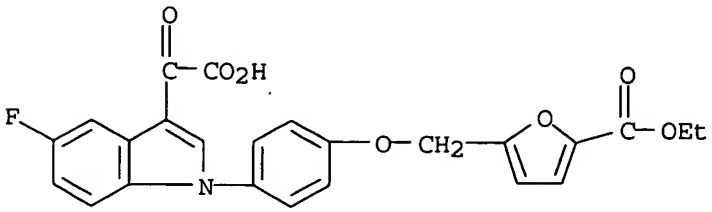
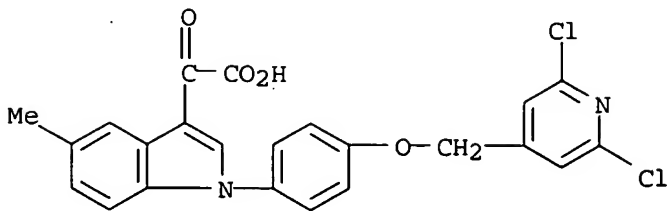
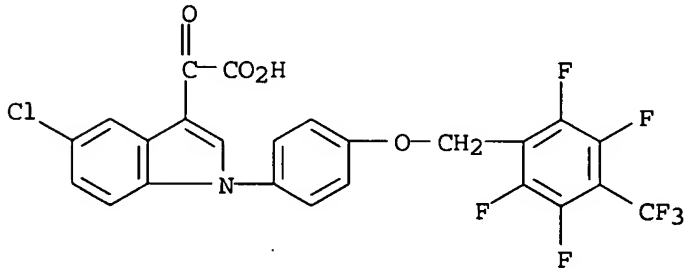


RN 710981-04-7 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]-5-

methyl-α-oxo- (9CI) (CA INDEX NAME)



RN 710981-10-5 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-chloro-α-oxo-1-[4-[[2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 710981-16-1 HCAPLUS
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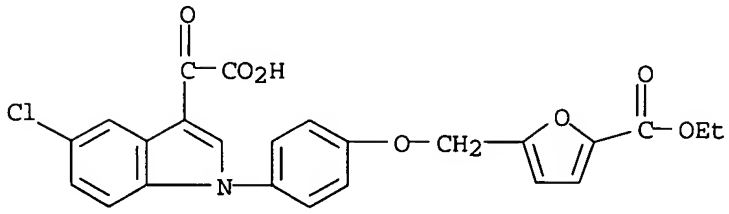
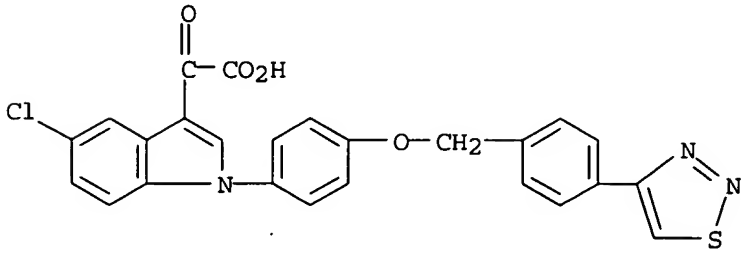
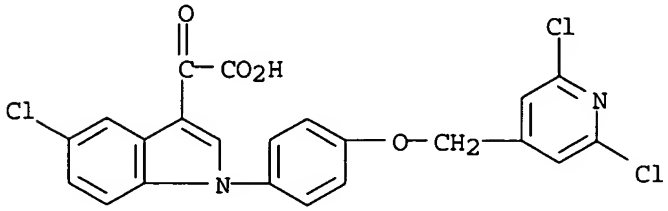


RN 710981-23-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-chloro-α-oxo-1-[4-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)



RN 710981-32-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



RN 710981-41-2 HCAPLUS
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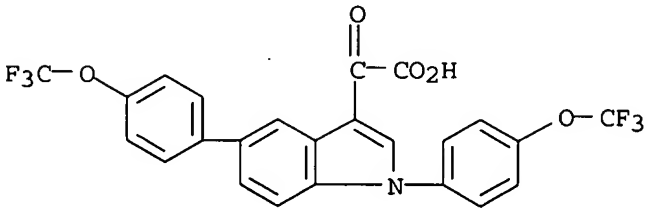

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L14 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:231514 HCAPLUS
DOCUMENT NUMBER: 144:286214
TITLE: Therapeutic methods employing **plasminogen** activator inhibitor 1 (PAI-1) inhibitors and transgenic non-human animal for screening candidate PAI-1 inhibitors
INVENTOR(S): Vaughan, Douglas E.; Eren, Mesut
PATENT ASSIGNEE(S): Vanderbilt University, USA
SOURCE: U.S. Pat. Appl. Publ., 57 pp., Cont.-in-part of U.S. Ser. No. 368,995.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006058369	A1	20060316	US 2005-171083	20050629
US 2003217371	A1	20031120	US 2003-368995	20030219
PRIORITY APPLN. INFO.:			US 2002-358061P	P 20020219
			US 2003-368995	A2 20030219

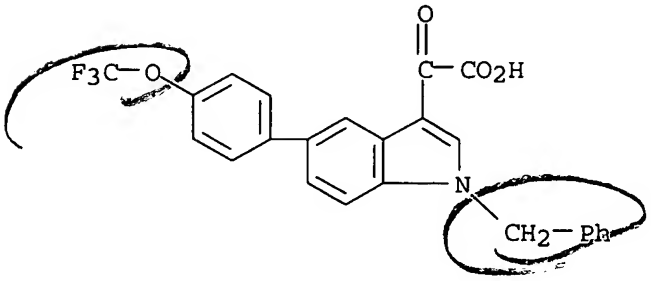
AB A method is disclosed for treating a warm-blooded vertebrate animal having a medical condition in need of treatment with a composition that exhibits PAI-1 inhibition activity. The method includes administering a treatment-effective amount of the composition to a warm-blooded vertebrate animal

having a medical condition selected from the group consisting of alopecia, undesired weight loss, Alzheimer's Disease, systemic amyloidosis, myelofibrosis, male pattern baldness, glomerulosclerosis, veno-occlusive disease (VOD), pulmonary fibrosis, obesity, non-alc. steatohepatitis (NASH), osteoporosis, osteopenia, polycystic ovarian syndrome (PCOS), and combinations thereof; and observing an improvement in the medical condition in the warm-blooded vertebrate animal having the medical condition. A method for testing a candidate composition for PAI-1 inhibition activity is also disclosed. The method includes obtaining a transgenic non-human warm-blooded vertebrate animal having incorporated into its genome a PAI-1 gene encoding a biol. active PAI-1 polypeptide, the PAI-1 gene being present in the genome in a copy number effective to confer overexpression in the transgenic non-human animal of the PAI-1 polypeptide; administering the composition to the transgenic non-human animal; and observing the transgenic non-human animal for determination of a change in the transgenic non-human animal indicative of inhibition of the activity of PAI-1. A transgenic non-human animal useful is such a method is also disclosed, as is a PAI-1 transgene construct encoding a biol. active PAI-1 polypeptide useful for preparing the transgenic non-human animal.

IT **393105-53-8**, Tiplaxtinin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic **plasminogen** activator inhibitor 1 (PAI-1) inhibitors and transgenic non-human animal for screening candidate PAI-1 inhibitors)

RN 393105-53-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



L14 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:700118 HCAPLUS

DOCUMENT NUMBER: 143:186459

TITLE: Evaluation of PAI-039 [{1-benzyl-5-[4-(trifluoromethoxy)phenyl]-1H-indol-3-yl}(oxo)acetic acid], a novel **plasminogen** activator inhibitor-1 inhibitor, in a canine model of coronary artery thrombosis

AUTHOR(S): Hennan, James K.; Elokdah, Hassan; Leal, Mauricio; Ji, Allena; Friedrichs, S.; Morgan, Gwen A.; Swillo, Robert E.; Antrilli, Thomas M.; Hreha, Amy; Crandall, David L.

CORPORATE SOURCE: Cardiovascular and Metabolic Disease Research, Wyeth Research, Collegeville, PA, USA

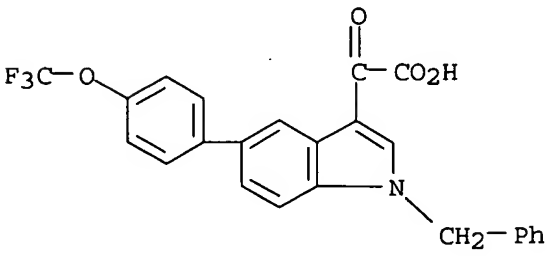
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2005), 314(2), 710-716

CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We tested a novel, orally active inhibitor of **plasminogen** activator inhibitor-1 (PAI-1) in a canine model of electrolytic injury. Dogs received by oral gavage either vehicle (control) or the PAI-1 inhibitor PAI-309 and were subjected to electrolytic injury of the coronary artery. PAI-039 caused prolongation in time to coronary occlusion (control, 31.7±6.3 min; 3 mg/kg PAI-039, 66.0±6.4 min; 10 mg/kg, 56.7±7.4 min; n = 5-6; $p < 0.05$) and a reduced thrombus weight (control, 7.6±1.5 mg; 10 mg/kg PAI-039, 3.6±1.0 mg; $p < 0.05$). Although occlusive thrombosis was observed across all groups based upon the absence of measurable blood flow, a high incidence (>60%) of spontaneous reperfusion occurred only in those groups receiving PAI-039. Spontaneous reperfusion in the 10 mg/kg PAI-039 group accounted for total blood flow (area under the curve of coronary blood flow) of 99.6±11.7 mL after initial thrombotic occlusion ($p < 0.05$ compared with control). Plasma PAI-1 activity was reduced in all drug-treated groups (percentage of reduction in activity $p < 0.05$; 10 mg/kg PAI-039), whereas ADP-, 9,11-dideoxy-11α,9α-epoxymethanoprostaglandin F2α (U46619)-, and collagen-induced platelet aggregation, as well as template bleeding and prothrombin time, remained unaffected by PAI-039. Ex vivo clot lysis anal. revealed normal clot formation but accelerated clot lysis in PAI-039-treated groups. The pharmacokinetic profile of PAI-039 indicated an oral bioavailability of 43±15.3% and a plasma half-life of 6.2±1.3 h. In conclusion, PAI-039 is an orally active prothrombolytic drug that inhibits PAI-1 and accelerates fibrinolysis while maintaining normal coagulation in a model of coronary occlusion.

IT **393105-53-8**, PAI 039
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(evaluation of PAI-039, a novel **plasminogen** activator inhibitor-1 inhibitor, in a canine model of coronary artery thrombosis)

RN 393105-53-8 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:61463 HCAPLUS
DOCUMENT NUMBER: 142:309533
TITLE: Pharmacological Inhibition and Genetic Deficiency of **Plasminogen** Activator Inhibitor-1 Attenuates

Angiotensin II/Salt-Induced Aortic Remodeling

AUTHOR(S): Weisberg, Alec D.; Albornoz, Francisco; Griffin, Jane P.; Crandall, David L.; Elokdah, Hassan; Fogo, Agnes B.; Vaughan, Douglas E.; Brown, Nancy J.

CORPORATE SOURCE: Department of Medicine, Divisions of Clinical Pharmacology, Vanderbilt University Medical Center, Nashville, TN, USA

SOURCE: Arteriosclerosis, Thrombosis, and Vascular Biology (2005), 25(2), 365-371
CODEN: ATVBFA; ISSN: 1079-5642

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

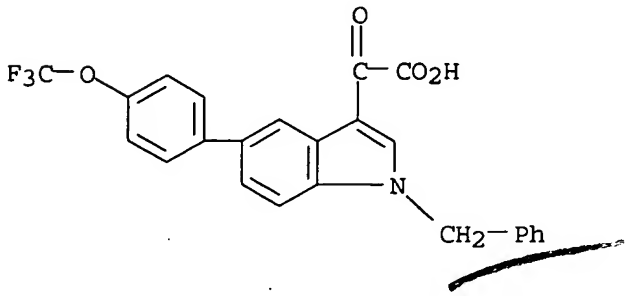
LANGUAGE: English

AB Objective- To test the hypothesis that pharmacol. **plasminogen** activator inhibitor (PAI)-1 inhibition protects against renin-angiotensin-aldosterone system-induced cardiovascular injury, the effect of a novel orally active small-mol. PAI-1 inhibitor, PAI-039, was examined in a mouse model of angiotensin (Ang) II-induced vascular remodeling and cardiac fibrosis. Methods and Results- Uninephrectomized male C57BL/6J mice were randomized to vehicle s.c., Ang II (1 μg/h) s.c., vehicle+PAI-039 (1 mg/g chow), or Ang II+PAI-039 during high-salt intake for 8 wk. Ang II caused significant medial, adventitial, and aortic wall thickening compared with vehicle. PAI-039 attenuated Ang II-induced aortic remodeling without altering the pressor response to Ang II. Ang II increased heart/body weight ratio and cardiac fibrosis. PAI-039 did not attenuate the effect of Ang II on cardiac hypertrophy and increased fibrosis. The effect of PAI-039 on Ang II/salt-induced aortic remodeling and cardiac fibrosis was comparable to the effect of genetic PAI-1 deficiency. Ang II increased aortic mRNA expression of PAI-1, collagen I, collagen III, fibronectin, osteopontin, monocyte chemoattractant protein-1, and F4/80. PAI-039 significantly decreased the Ang II-induced increase in aortic osteopontin expression at 8 wk. Conclusions- This study demonstrates that pharmacol. inhibition of PAI-1 protects against Ang II-induced aortic remodeling. Future studies are needed to determine whether the interactive effect of Ang II/salt and reduced PAI-1 activity on cardiac fibrosis is species-specific.

IT **393105-53-8**, PAI 039
RL: PAC (Pharmacological activity); BIOL (Biological study)
(pharmacol. inhibition and genetic deficiency of **plasminogen** activator inhibitor-1 attenuates angiotensin II/salt-induced aortic remodeling)

RN 393105-53-8 HCAPLUS

CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



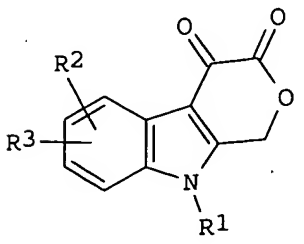
REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:515514 HCAPLUS
DOCUMENT NUMBER: 141:71529
TITLE: Preparation of substituted dihydropyranoindole-3,4-dione derivatives as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1)
INVENTOR(S): Elokdah, Hassan Mahmoud; Li, David Zenan
PATENT ASSIGNEE(S): Wyeth, USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

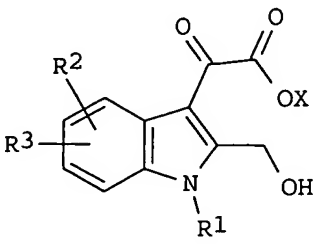
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052893	A2	20040624	WO 2003-US38932	20031209
WO 2004052893	A3	20040812		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2509242	AA	20040624	CA 2003-2509242	20031209
AU 2003296322	A1	20040630	AU 2003-296322	20031209
US 2005113436	A1	20050526	US 2003-731290	20031209
EP 1569639	A2	20050907	EP 2003-812845	20031209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016586	A	20051011	BR 2003-16586	20031209
CN 1726029	A	20060125	CN 2003-80105734	20031209
JP 2006514641	T2	20060511	JP 2004-559411	20031209
NO 2005003340	A	20050912	NO 2005-3340	20050708

PRIORITY APPLN. INFO.: US 2002-432327P P 20021210
WO 2003-US38932 W 20031209

OTHER SOURCE(S): MARPAT 141:71529
GI



I



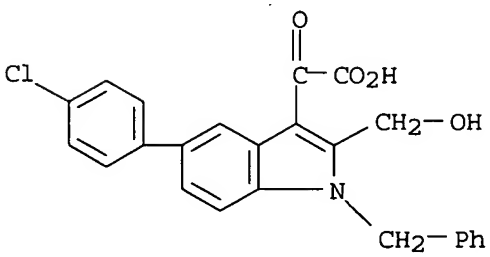
II

AB The title compds. [I and II; X = H, alkali metal or a basic amine moiety; R1 = alkyl, cycloalkyl, CH2(cycloalkyl), pyridinyl, CH2(pyridinyl), Ph,

CH2Ph, the rings of these groups being optionally substituted; R2 = H, halo, alkyl, perfluoroalkyl, alkoxy, cycloalkyl, CH2(cycloalkyl), NH2, NO2; R3 = Ph, CH2Ph, OCH2Ph, pyridinyl, CH2(pyridinyl), etc., with the rings of these groups being optionally substituted] or a pharmaceutically acceptable salt or ester forms thereof, useful as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1) for treating conditions resulting from fibrinolytic disorders such as deep vein thrombosis and coronary heart disease, and pulmonary fibrosis, were prepared E.g., a 7-step synthesis of 9-(4-methylbenzyl)-6-[4-(trifluoromethoxy)phenyl]-1,9-dihydropyrano[3,4-b]indole-3,4-dione II, starting from Et 5-bromo-1H-indole-2-carboxylate and 4-methylbenzyl bromide, was given. The compound II showed IC50 of 2.3 μM against human PAI-1. The pharmaceutical composition comprising the compound I is claimed.

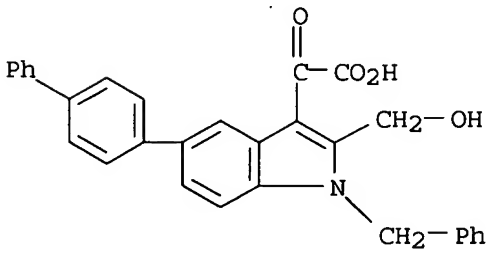
IT **711010-51-4P 711010-80-9P 711010-81-0P 711010-82-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted dihydropyranoindole-3,4-dione derivs. as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1))

RN 711010-51-4 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-(4-chlorophenyl)-2-(hydroxymethyl)-α-oxo-1-(phenylmethyl)-, monopotassium salt (9CI) (CA INDEX NAME)



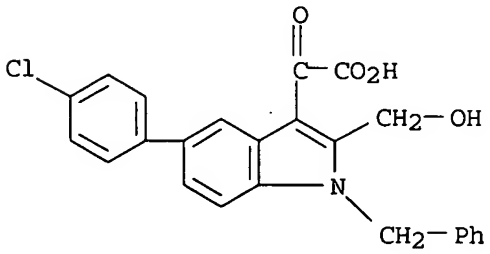
● K

RN 711010-80-9 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-[1,1'-biphenyl]-4-yl-2-(hydroxymethyl)-α-oxo-1-(phenylmethyl)-, monopotassium salt (9CI) (CA INDEX NAME)

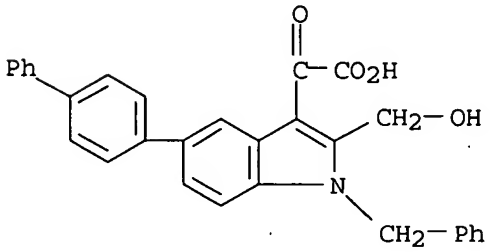


● K

RN 711010-81-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-(4-chlorophenyl)-2-(hydroxymethyl)-α-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

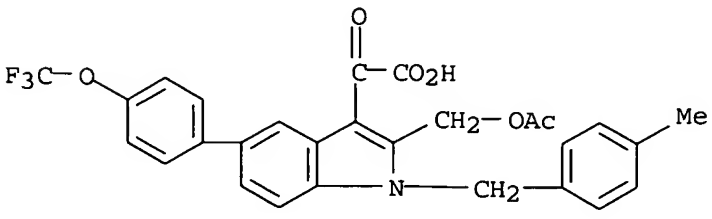


RN 711010-82-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-[1,1'-biphenyl]-4-yl-2-(hydroxymethyl)-α-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

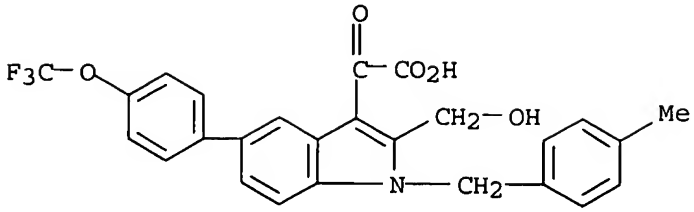


IT **481629-94-1P 481629-95-2P 481629-96-3P**
481629-97-4P 711010-57-0P 711010-61-6P
711010-64-9P 711010-65-0P 711010-67-2P
711010-71-8P 711010-75-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted dihydropyranoindole-3,4-dione derivs. as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1))

RN 481629-94-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-1-[(4-methylphenyl)methyl]-α-oxo-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

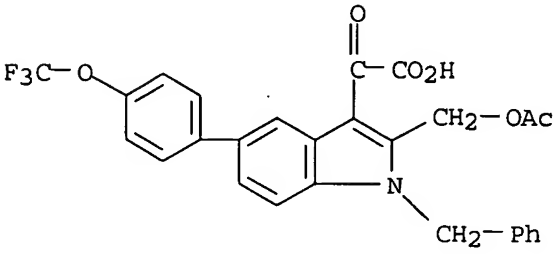


RN 481629-95-2 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-(hydroxymethyl)-1-[(4-methylphenyl)methyl]-α-oxo-5-[4-(trifluoromethoxy)phenyl]-, monopotassium salt (9CI) (CA INDEX NAME)

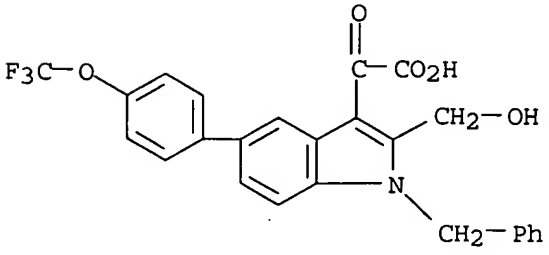


● K

RN 481629-96-3 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

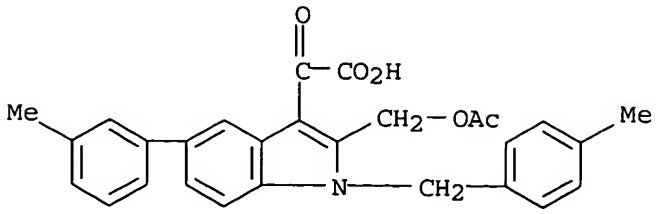


RN 481629-97-4 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-(hydroxymethyl)-α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]-, monopotassium salt (9CI) (CA INDEX NAME)

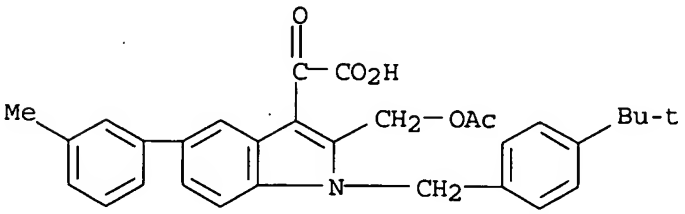


● K

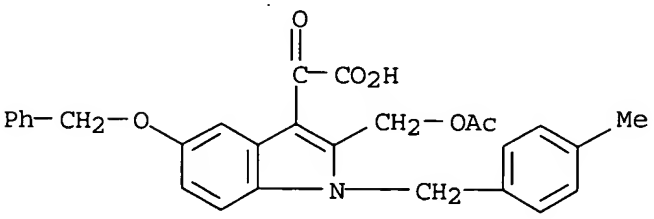
RN 711010-57-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-5-(3-methylphenyl)-1-[(4-methylphenyl)methyl]-α-oxo- (9CI) (CA INDEX NAME)



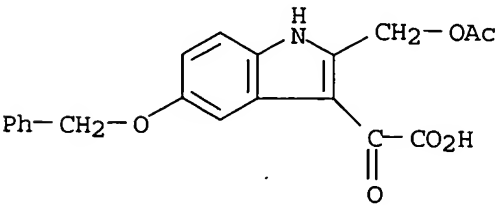
RN 711010-61-6 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-5-(3-methylphenyl)-α-oxo- (9CI) (CA INDEX NAME)



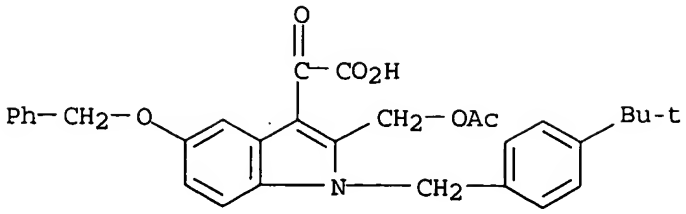
RN 711010-64-9 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-1-[(4-methylphenyl)methyl]-α-oxo-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



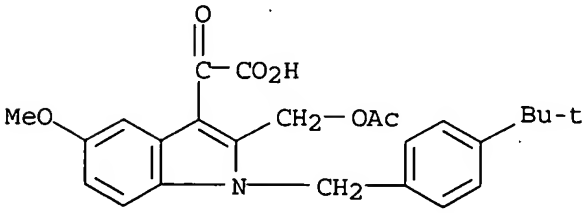
RN 711010-65-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-α-oxo-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



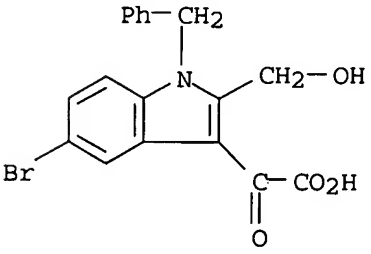
RN 711010-67-2 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-α-oxo-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 711010-71-8 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-5-methoxy-α-oxo- (9CI) (CA INDEX NAME)



RN 711010-75-2 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-bromo-2-(hydroxymethyl)-α-oxo-1-(phenylmethyl)-, monopotassium salt (9CI) (CA INDEX NAME)



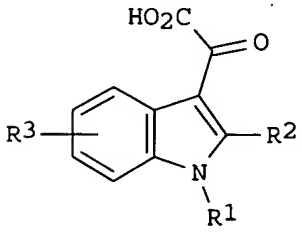
● K

L14 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:515481 HCAPLUS
DOCUMENT NUMBER: 141:71442
TITLE: Preparation of aryl, aryloxy, and alkyloxy substituted 1H-indol-3-yl glyoxylic acid derivatives as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1)
INVENTOR(S): Jennings, Lee Dalton; Elokdah, Hassan Mahmoud; McFarlane, Geraldine Ruth
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052854	A2	20040624	WO 2003-US38934	20031209
WO 2004052854	A3	20040805		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2509191	AA	20040624	CA 2003-2509191	20031209
AU 2003296324	A1	20040630	AU 2003-296324	20031209
US 2004138283	A1	20040715	US 2003-731308	20031209
EP 1569901	A2	20050907	EP 2003-812847	20031209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016585	A	20051004	BR 2003-16585	20031209
JP 2006510673	T2	20060330	JP 2004-559413	20031209
PRIORITY APPLN. INFO.:			US 2002-432329P P	20021210
			WO 2003-US38934 W	20031209

OTHER SOURCE(S): MARPAT 141:71442

GI



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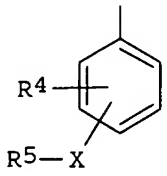

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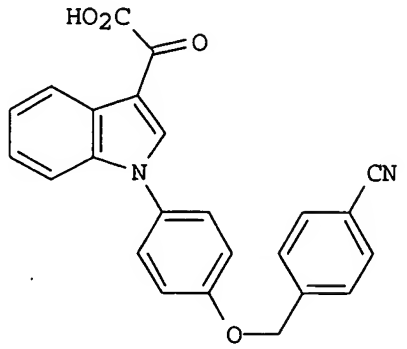


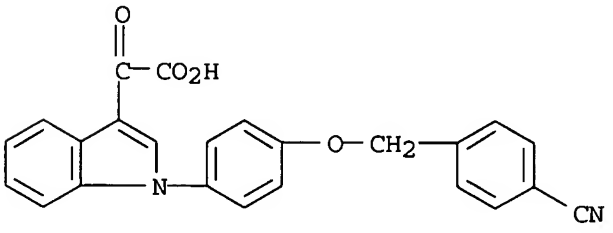
III

AB The title compds. [I; R1 = II (wherein R4 = H, halo, alkyl, etc.; X = O, S, NH; R5 = alkyl, perfluoroalkyl, cycloalkyl, etc.), alkyl, benzo[1,3]dioxol-5-ylmethyl, cycloalkylalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R3 = H, halo, alkyl, etc.], useful as inhibitors of

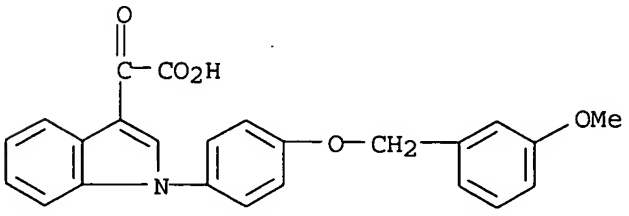
plasminogen activator inhibitor (PAI-1) for treating conditions resulting from fibrinolytic disorders, such as deep vein thrombosis, coronary heart disease and pulmonary fibrosis, were prepared E.g., a 4-step synthesis of III, starting from indole and 4-iodoanisole, which showed 23% PAI-1 inhibition at 25 μM, was given. The pharmaceutical composition comprising the compound I is claimed.

IT **710980-16-8P 710980-25-9P 710980-34-0P**
710980-42-0P 710980-48-6P 710980-54-4P
710980-61-3P 710980-68-0P 710980-75-9P
710980-80-6P 710980-85-1P 710980-90-8P
710980-95-3P 710981-00-3P 710981-04-7P
710981-10-5P 710981-16-1P 710981-23-0P
710981-32-1P 710981-41-2P 710981-49-0P
710981-56-9P 710981-63-8P 710981-70-7P
710981-77-4P 710981-85-4P 710981-92-3P
710981-98-9P 710982-06-2P 710982-12-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl, aryloxy, and alkyloxy substituted 1H-indol-3-yl glyoxylic acid derivs. as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1))

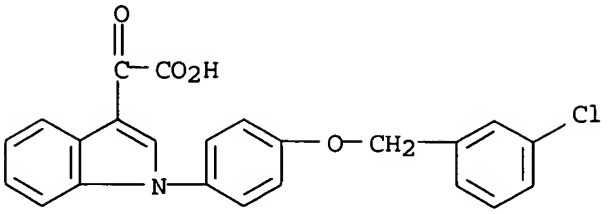
RN 710980-16-8 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(4-cyanophenyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



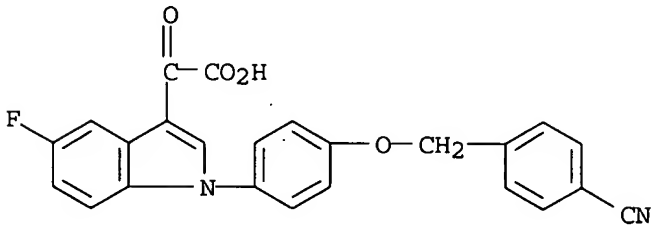
RN 710980-25-9 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(3-methoxyphenyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



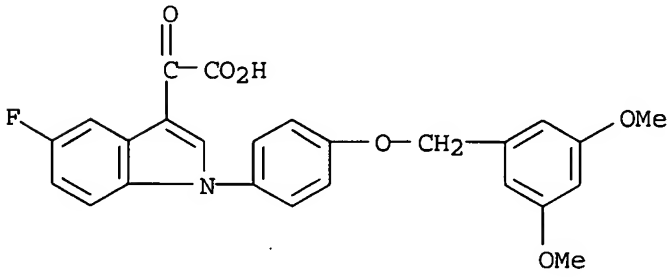
RN 710980-34-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(3-chlorophenyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



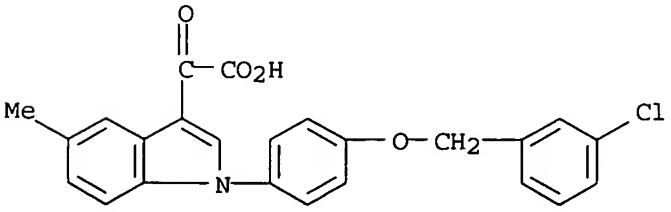
RN 710980-42-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(4-cyanophenyl)methoxy]phenyl]-5-fluoro-α-oxo- (9CI) (CA INDEX NAME)



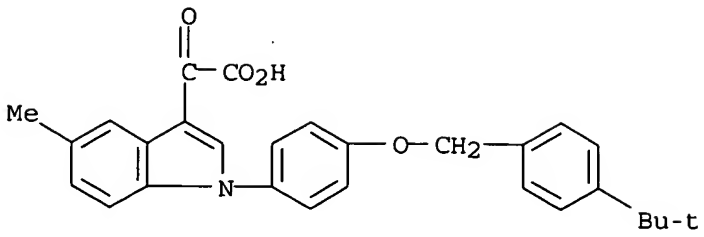
RN 710980-48-6 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(3,5-dimethoxyphenyl)methoxy]phenyl]-5-fluoro-α-oxo- (9CI) (CA INDEX NAME)



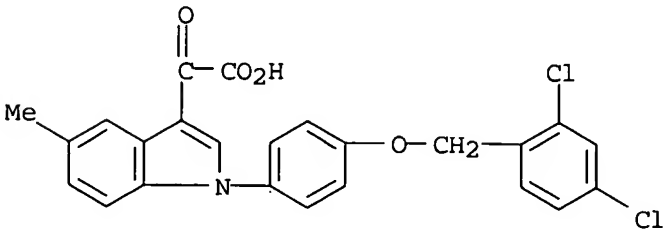
RN 710980-54-4 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(3-chlorophenyl)methoxy]phenyl]-5-methyl-α-oxo- (9CI) (CA INDEX NAME)



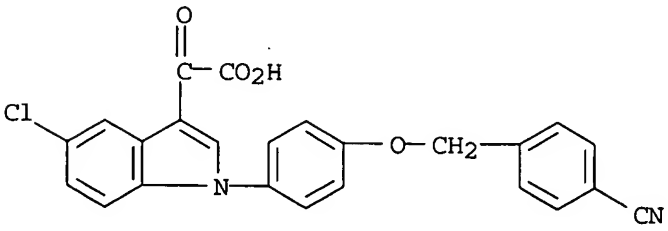
RN 710980-61-3 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[4-(1,1-dimethylethyl)phenyl]methoxy]phenyl]-5-methyl-α-oxo- (9CI) (CA INDEX NAME)



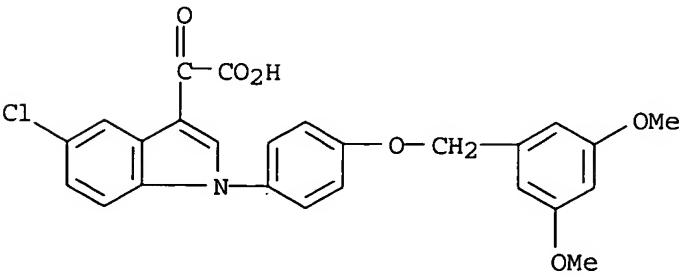
RN 710980-68-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(2,4-dichlorophenyl)methoxy]phenyl]-5-methyl-α-oxo- (9CI) (CA INDEX NAME)



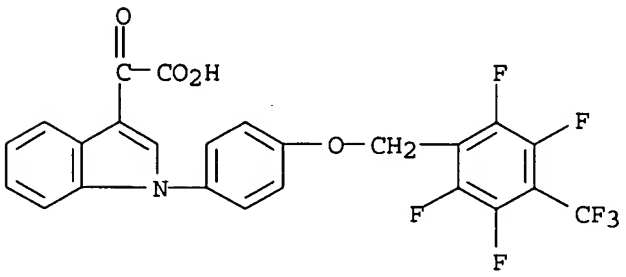
RN 710980-75-9 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[(4-cyanophenyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



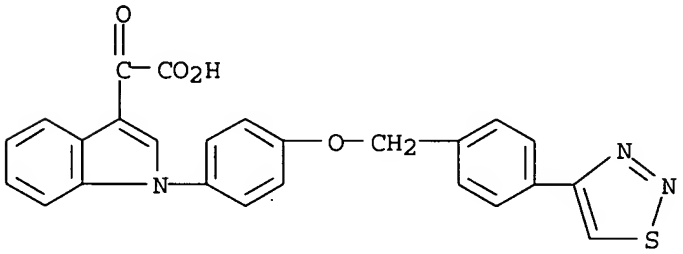
RN 710980-80-6 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[(3,5-dimethoxyphenyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



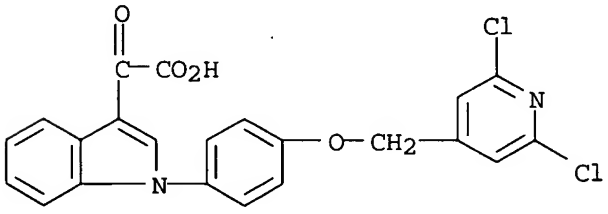
RN 710980-85-1 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-[4-[[2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)



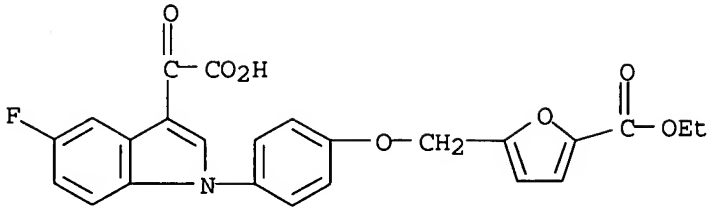
RN 710980-90-8 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-[4-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)



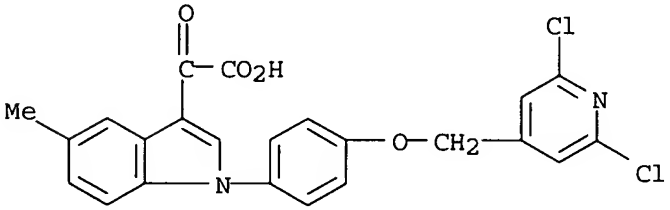
RN 710980-95-3 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



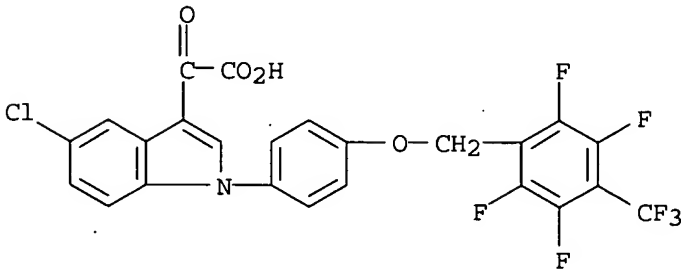
RN 710981-00-3 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[[5-(ethoxycarbonyl)-2-furanyl]methoxy]phenyl]-5-fluoro-α-oxo- (9CI) (CA INDEX NAME)



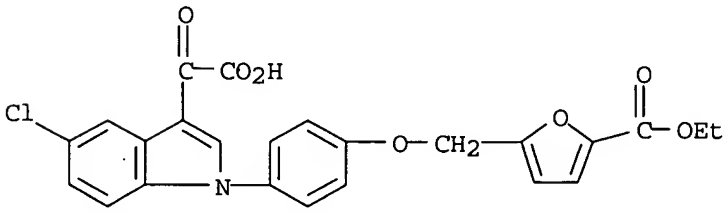
RN 710981-04-7 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]-5-methyl-α-oxo- (9CI) (CA INDEX NAME)



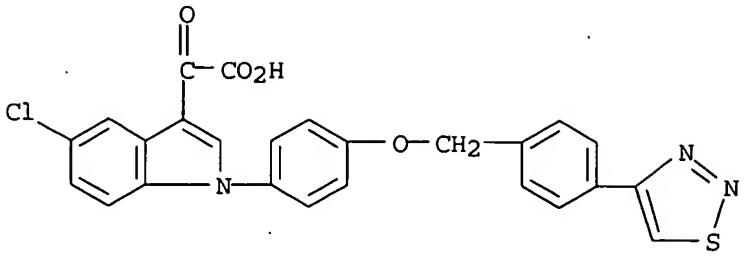
RN 710981-10-5 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-chloro-α-oxo-1-[4-[[2,3,5,6-tetrafluoro-4-(trifluoromethyl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)



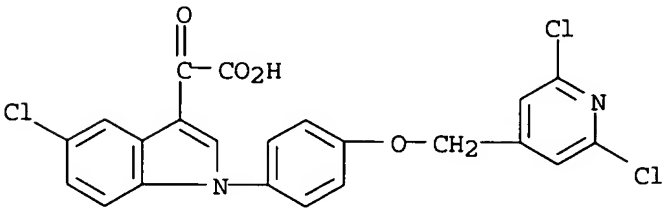
RN 710981-16-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[[5-(ethoxycarbonyl)-2-furanyl]methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



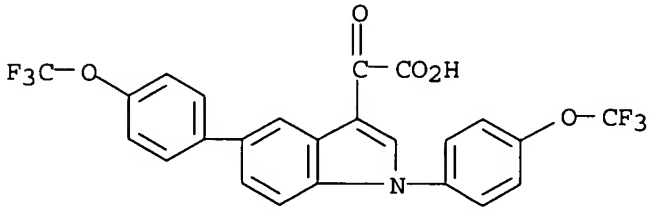
RN 710981-23-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-chloro-α-oxo-1-[4-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)



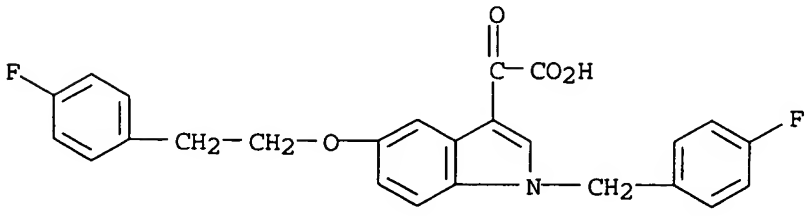
RN 710981-32-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-chloro-1-[4-[(2,6-dichloro-4-pyridinyl)methoxy]phenyl]-α-oxo- (9CI) (CA INDEX NAME)



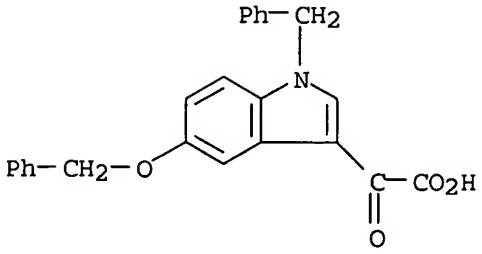
RN 710981-41-2 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1,5-bis[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



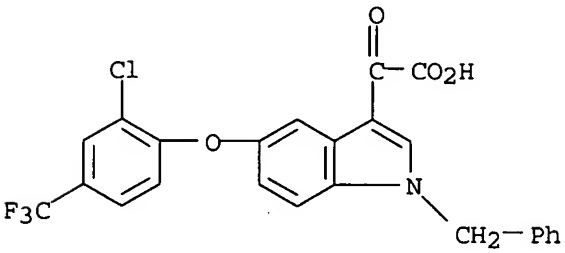
RN 710981-49-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-[2-(4-fluorophenyl)ethoxy]-1-[(4-fluorophenyl)methyl]-α-oxo- (9CI) (CA INDEX NAME)



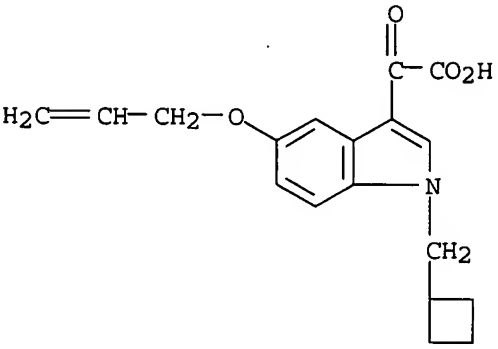
RN 710981-56-9 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-5-(phenylmethoxy)-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



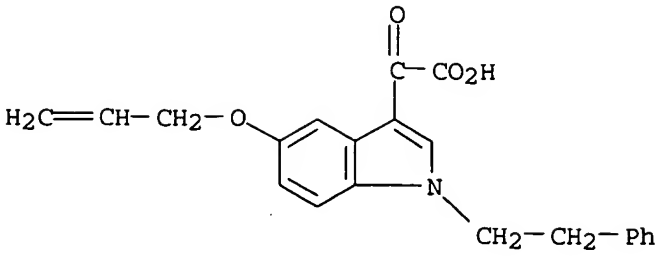
RN 710981-63-8 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-[2-chloro-4-(trifluoromethyl)phenoxy]-α-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 710981-70-7 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(cyclobutylmethyl)-α-oxo-5-(2-propenyloxy)- (9CI) (CA INDEX NAME)



RN 710981-77-4 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(2-phenylethyl)-5-(2-propenyloxy)- (9CI) (CA INDEX NAME)



RN 710981-85-4 HCAPLUS
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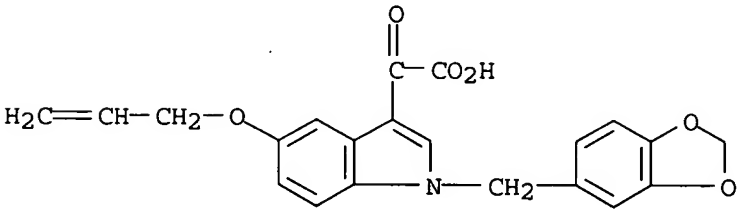
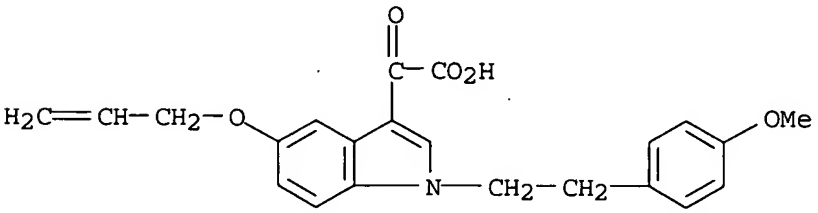
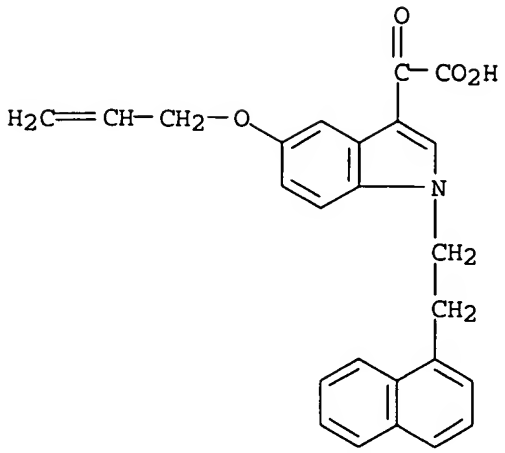


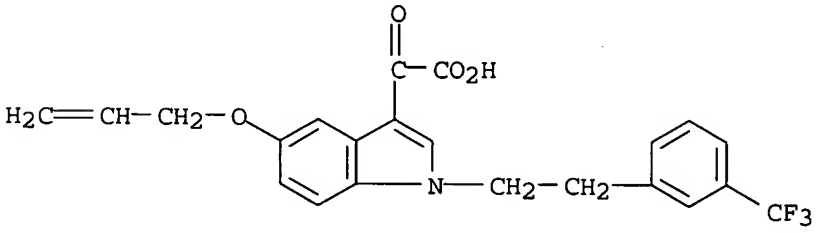
RN 710981-92-3 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[2-(4-methoxyphenyl)ethyl]-α-oxo-5-(2-propenyloxy)- (9CI) (CA INDEX NAME)



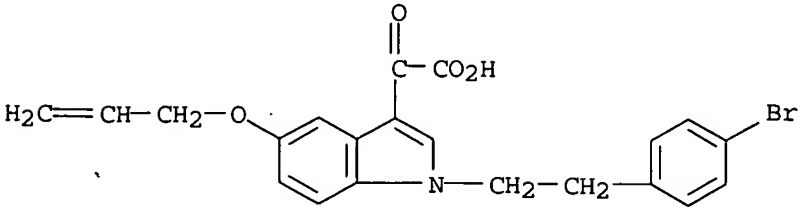
RN 710981-98-9 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[2-(1-naphthalenyl)ethyl]-α-oxo-5-(2-propenyloxy)- (9CI) (CA INDEX NAME)



RN 710982-06-2 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-5-(2-propenyloxy)-1-[2-[3-(trifluoromethyl)phenyl]ethyl]- (9CI) (CA INDEX NAME)



RN 710982-12-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[2-(4-bromophenyl)ethyl]-α-oxo-5-(2-propenyloxy)- (9CI) (CA INDEX NAME)

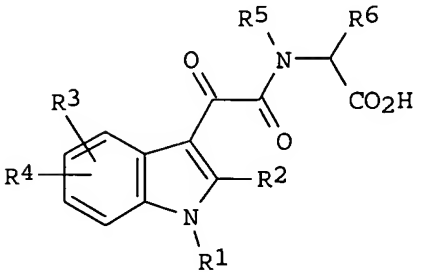


L14 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:493571 HCAPLUS
DOCUMENT NUMBER: 141:54194
TITLE: Preparation of substituted indolyloxoacetylaminoacetic acid derivatives as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1)
INVENTOR(S): Elokdah, Hassan Mahmoud; McFarlane, Geraldine Ruth; Li, David Zenan
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp.

CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004116504	A1	20040617	US 2003-731074	20031209
CA 2509222	AA	20040624	CA 2003-2509222	20031209
WO 2004052856	A1	20040624	WO 2003-US38933	20031209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003296323	A1	20040630	AU 2003-296323	20031209
EP 1569902	A1	20050907	EP 2003-812846	20031209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016584	A	20051004	BR 2003-16584	20031209
CN 1726191	A	20060125	CN 2003-80105736	20031209
JP 2006510672	T2	20060330	JP 2004-559412	20031209
PRIORITY APPLN. INFO.:			US 2002-432331P P	20021210
			WO 2003-US38933 W	20031209

OTHER SOURCE(S): MARPAT 141:54194
GI



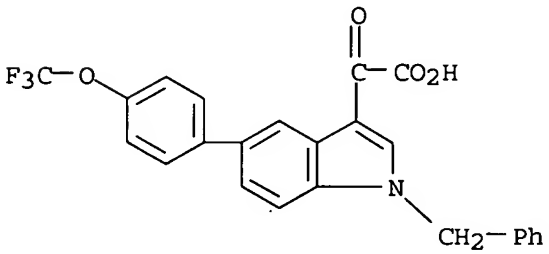
I

AB The title compds. [I; R1 = alkyl, cycloalkyl, CH2(cycloalkyl), pyridinyl, CH2(pyridinyl), Ph, CH2Ph; R2 = H, alkyl, cycloalkyl, CH2(cycloalkyl), perfluoroalkyl; R3 = H, halo, alkyl, perfluoroalkyl, alkoxy, cycloalkyl, CH2(cycloalkyl), NH2 , NO2; R4 = Ph, CH2Ph, OCH2Ph, pyridinyl, CH2(pyridinyl); R5 = H, alkyl, cycloalkyl, CH2(cycloalkyl), perfluoroalkyl, aryl, alkylaryl; R6 = H, alkyl, hydroxyalkyl, 4-hydroxybenzyl, 3-indolylymethylene, 4-imidazolylmethylene, etc.; or R5 taken together with R6 = CH2CH2CH2] which are inhibitors of **plasminogen** activator inhibitor-1 (PAI-1) useful for treating fibrinolytic disorders, were prepared E.g., a multi-step synthesis of I [R1

= 4-tert-BuC6H4CH2; R2, R3 = H; R4 = 5-(3-MeC6H4); R5, R6 = H], starting from 5-bromoindole and 4-tert-butylbenzyl bromide, was given. The latter showed IC50 of 29 μM against PAI-1. The pharmaceutical composition comprising the compound I is claimed.

IT **393105-53-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted indolyloxoacetylaminoacetic acid derivs. as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1))

RN 393105-53-8 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

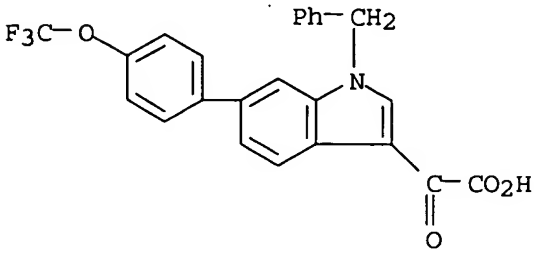


L14 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:459218 HCAPLUS
DOCUMENT NUMBER: 141:174039
TITLE: Tiplaxtinin, a Novel, Orally Efficacious Inhibitor of **Plasminogen** Activator Inhibitor-1: Design, Synthesis, and Preclinical Characterization
AUTHOR(S): Elokdah, Hassan; Abou-Gharbia, Magid; Hennan, James K.; McFarlane, Geraldine; Mugford, Cheryl P.; Krishnamurthy, Girija; Crandall, David L.
CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Princeton, NJ, 08543, USA
SOURCE: Journal of Medicinal Chemistry (2004), 47(14), 3491-3494
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:174039

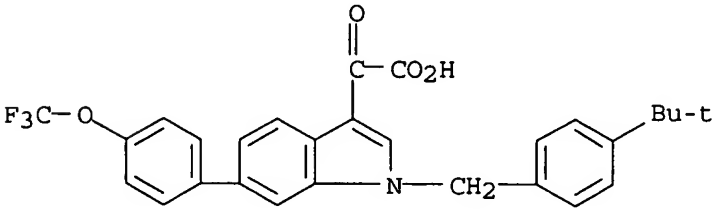
AB Indole oxoacetic acid derivs. were prepared and evaluated for in vitro binding to and inactivation of human **plasminogen** activator inhibitor-1 (PAI-1). SAR based on biochem., physiol., and pharmacokinetic attributes led to identification of tiplaxtinin as the optimal selective PAI-1 inhibitor. Tiplaxtinin exhibited in vivo oral efficacy in two different models of acute arterial thrombosis. The remarkable preclin. safety and metabolic stability profiles of tiplaxtinin led to advancing the compound to clin. trials.

IT **481629-59-8 481629-62-3 481629-70-3 481629-72-5 481629-73-6 481629-75-8 481629-87-2 481629-88-3 735271-95-1 735271-96-2**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(screening of indole oxoacetic acid derivs. as inhibitors of **plasminogen** activator inhibitor-1 and subsequent design,

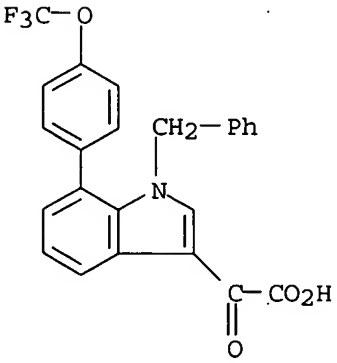
synthesis and preclin. evaluation of tiplaxtinin)
RN 481629-59-8 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-6-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



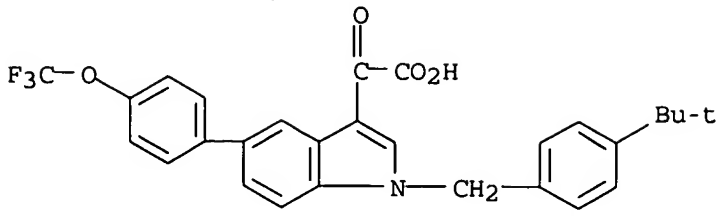
RN 481629-62-3 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]-α-oxo-6-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



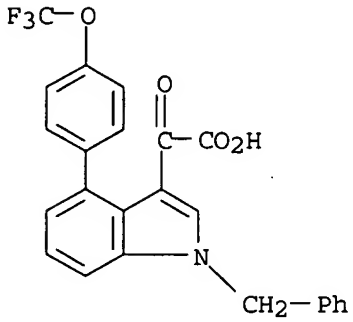
RN 481629-70-3 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-7-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



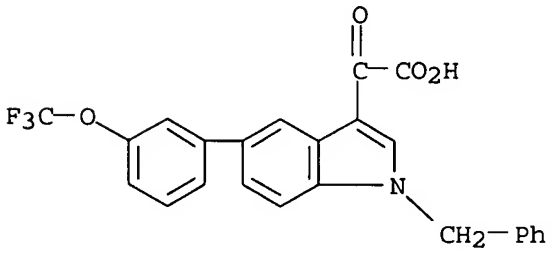
RN 481629-72-5 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]-α-oxo-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



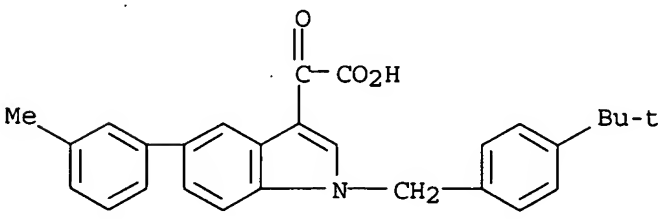
RN 481629-73-6 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-4-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 481629-75-8 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

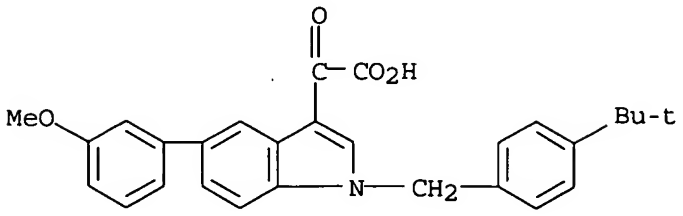


RN 481629-87-2 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]-5-(3-methylphenyl)-α-oxo- (9CI) (CA INDEX NAME)

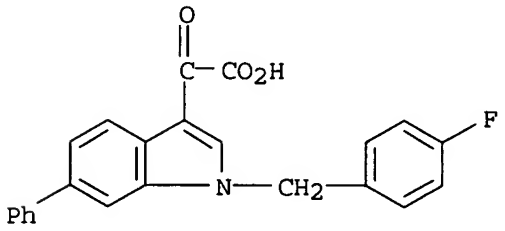


RN 481629-88-3 HCAPLUS

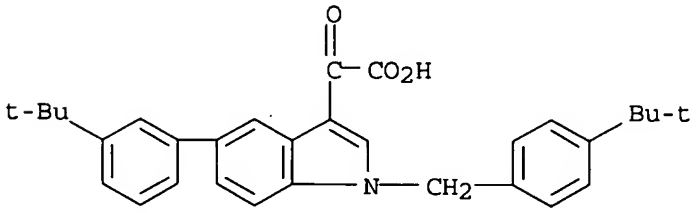
CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]-5-(3-methoxyphenyl)-α-oxo- (9CI) (CA INDEX NAME)



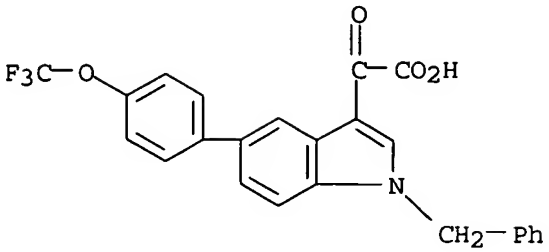
RN 735271-95-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[(4-fluorophenyl)methyl]-α-oxo-6-phenyl- (9CI) (CA INDEX NAME)



RN 735271-96-2 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-[3-(1,1-dimethylethyl)phenyl]-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-α-oxo- (9CI) (CA INDEX NAME)



IT **393105-53-8P**, Tiplaxtinin
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(screening of indole oxoacetic acid derivs. as inhibitors of **plasminogen** activator inhibitor-1 and subsequent design, synthesis and preclin. evaluation of tiplaxtinin)
RN 393105-53-8 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

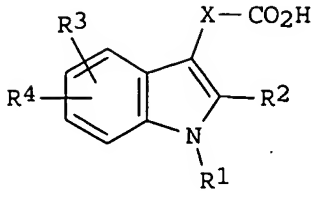


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:5772 HCAPLUS
DOCUMENT NUMBER: 138:73172
TITLE: Preparation of substituted indole-3-acetic acids as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1)
INVENTOR(S): Elokdah, Hassan Mahmoud; Mcfarlane, Geraldine Ruth; Li, David Zenan; Jennings, Lee Dalton; Crandall, David Leroy
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000253	A1	20030103	WO 2002-US19344	20020618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003125371	A1	20030703	US 2002-174159	20020618
EP 1397130	A1	20040317	EP 2002-744425	20020618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534817	T2	20041118	JP 2003-506899	20020618
PRIORITY APPLN. INFO.:			US 2001-299657P P	20010620
			WO 2002-US19344 W	20020618

OTHER SOURCE(S): MARPAT 138:73172
GI

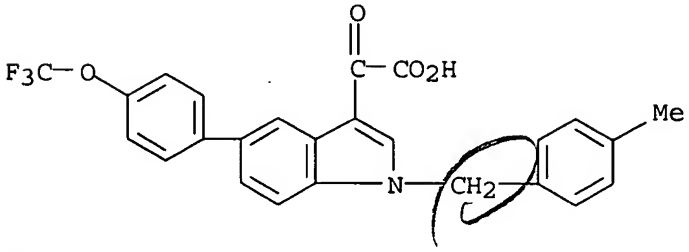


I

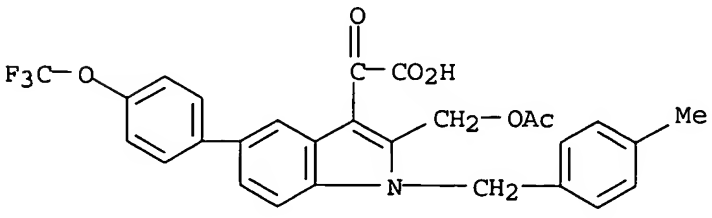
AB The title compds. [I; X = a bond, CH2, CO; R1 = alkyl, cycloalkyl, CH2(cycloalkyl), pyridinyl, CH2(pyridinyl), Ph, CH2Ph; R2 = H, alkyl, cycloalkyl, CH2(cycloalkyl), perfluoroalkyl; R3 = H, halo, alkyl, perfluoroalkyl, alkoxy, cycloalkyl, CH2(cycloalkyl), NH2, NO2; R4 = (un)substituted Ph, CH2Ph, OCH2PH, pyridinyl, CH2(pyridinyl)] or their salts or ester forms, useful as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1) for treating conditions resulting from fibrinolytic disorders such as deep vein thrombosis and coronary heart disease, and pulmonary fibrosis, were prepared E.g., a 4-step synthesis of I [X = CO; R1 = Me; R2-R3 = H; R4 = 6-[4-(trifluoromethoxy)phenyl]], starting from 6-bromo-1H-indole and 4-trifluoromethoxyphenylboronic acid, which showed 15% inhibition of PAI-1 at 25 μM, was given.

IT **481629-79-2P 481629-94-1P 481629-96-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indole-3-acetic acids as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1))

RN 481629-79-2 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[(4-methylphenyl)methyl]-α-oxo-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

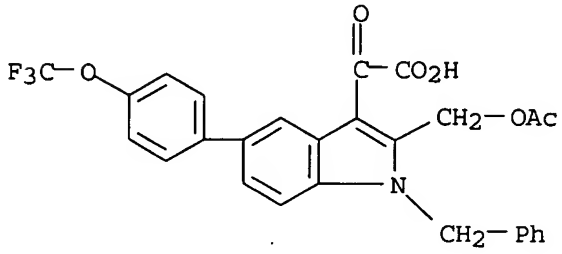


RN 481629-94-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-1-[(4-methylphenyl)methyl]-α-oxo-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



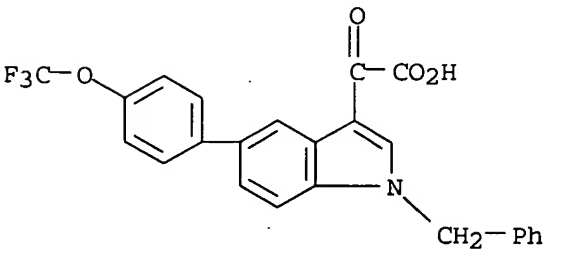
RN 481629-96-3 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-[(acetyloxy)methyl]-α-oxo-1-

(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

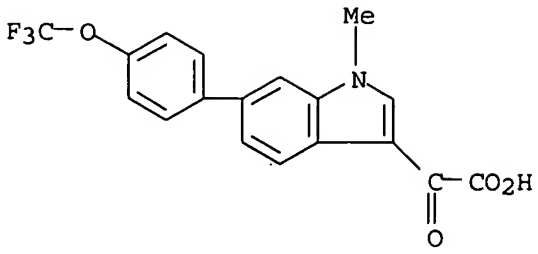


IT **393105-53-8P 481629-54-3P 481629-56-5P**
481629-57-6P 481629-58-7P 481629-59-8P
481629-60-1P 481629-61-2P 481629-62-3P
481629-63-4P 481629-64-5P 481629-65-6P
481629-66-7P 481629-67-8P 481629-68-9P
481629-69-0P 481629-70-3P 481629-71-4P
481629-72-5P 481629-73-6P 481629-74-7P
481629-75-8P 481629-76-9P 481629-77-0P
481629-78-1P 481629-80-5P 481629-81-6P
481629-82-7P 481629-83-8P 481629-84-9P
481629-85-0P 481629-86-1P 481629-87-2P
481629-88-3P 481629-89-4P 481629-90-7P
481629-91-8P 481629-92-9P 481629-93-0P
481629-95-2P 481629-97-4P 481629-98-5P
481629-99-6P 481630-00-6P 481630-01-7P
481630-02-8P 481630-03-9P 481630-04-0P
481630-05-1P 481630-06-2P 481630-07-3P
481630-08-4P 481630-09-5P 481630-10-8P
481630-11-9P 481630-12-0P 481630-19-7P
481630-20-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole-3-acetic acids as inhibitors of **plasminogen** activator inhibitor-1 (PAI-1))

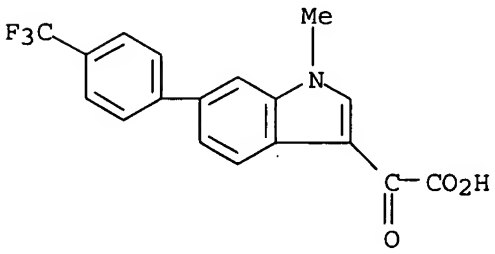
RN 393105-53-8 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



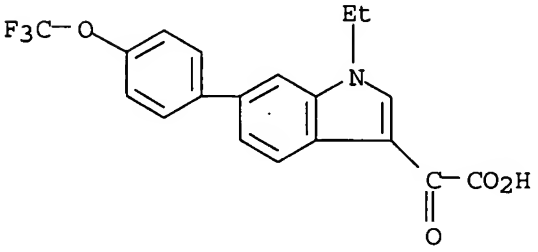
RN 481629-54-3 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-methyl-α-oxo-6-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



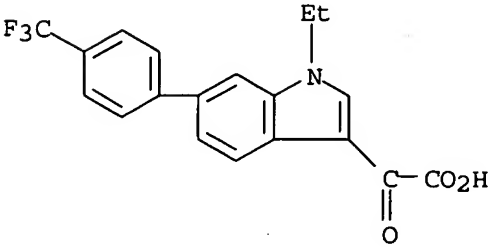
RN 481629-56-5 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-methyl-α-oxo-6-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



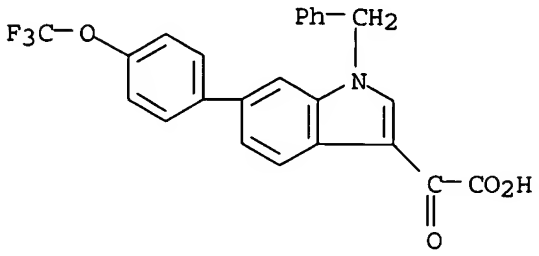
RN 481629-57-6 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-ethyl-α-oxo-6-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



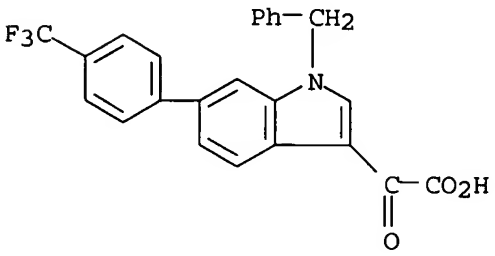
RN 481629-58-7 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-ethyl-α-oxo-6-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



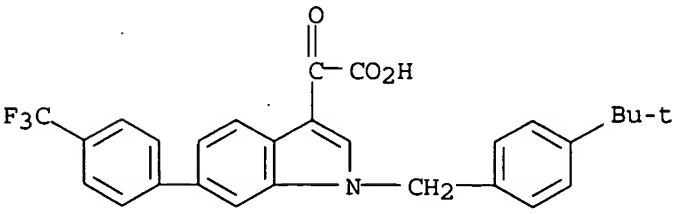
RN 481629-59-8 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-6-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



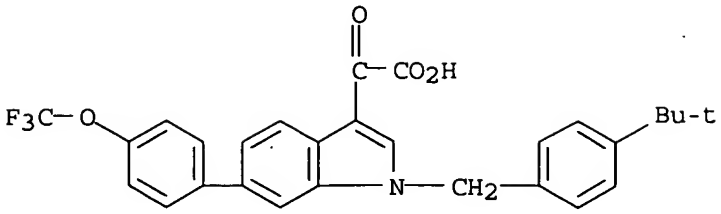
RN 481629-60-1 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-6-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



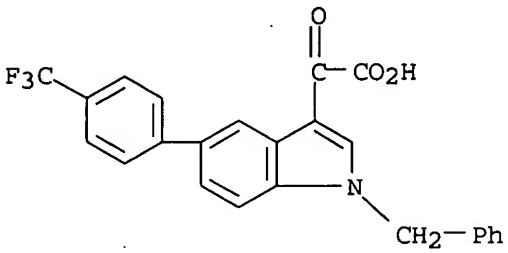
RN 481629-61-2 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]-α-oxo-6-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



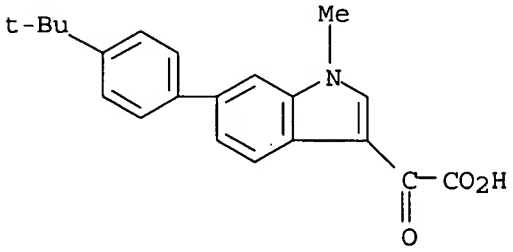
RN 481629-62-3 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]-α-oxo-6-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



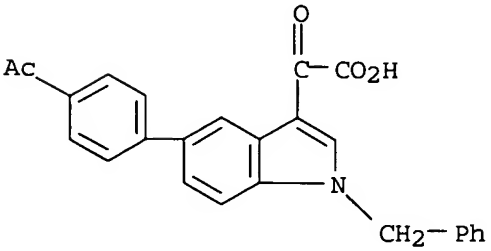
RN 481629-63-4 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 481629-64-5 HCAPLUS
CN 1H-Indole-3-acetic acid, 6-[4-(1,1-dimethylethyl)phenyl]-1-methyl-α-oxo- (9CI) (CA INDEX NAME)

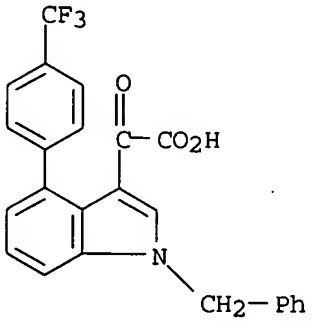


RN 481629-65-6 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-(4-acetylphenyl)-α-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

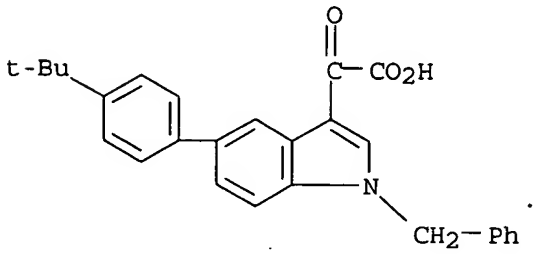


RN 481629-66-7 HCAPLUS

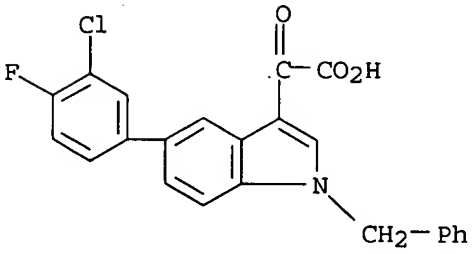
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



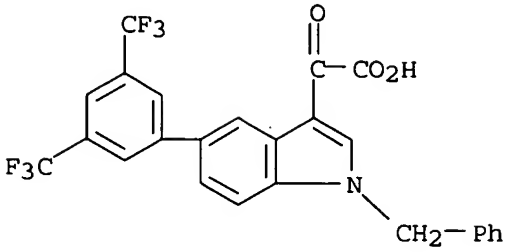
RN 481629-67-8 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-[4-(1,1-dimethylethyl)phenyl]-α-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



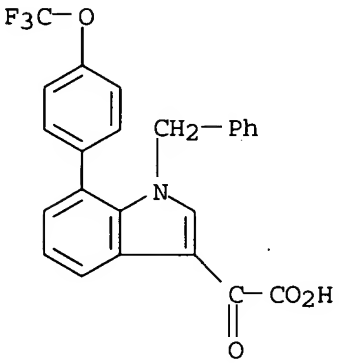
RN 481629-68-9 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-(3-chloro-4-fluorophenyl)-α-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



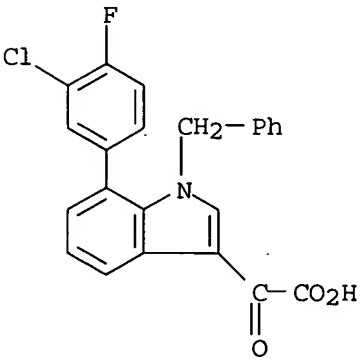
RN 481629-69-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-[3,5-bis(trifluoromethyl)phenyl]-α-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



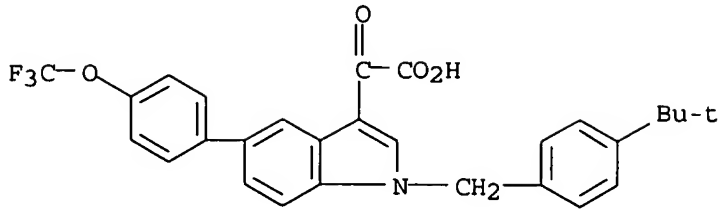
RN 481629-70-3 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-7-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



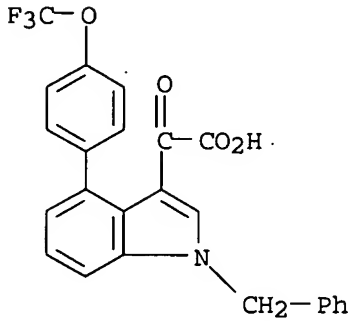
RN 481629-71-4 HCAPLUS
CN 1H-Indole-3-acetic acid, 7-(3-chloro-4-fluorophenyl)-α-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



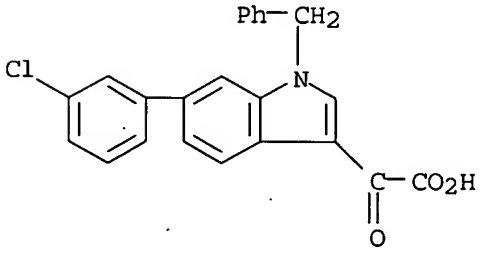
RN 481629-72-5 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]-α-oxo-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



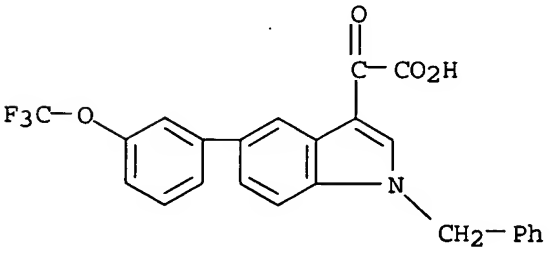
RN 481629-73-6 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-4-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



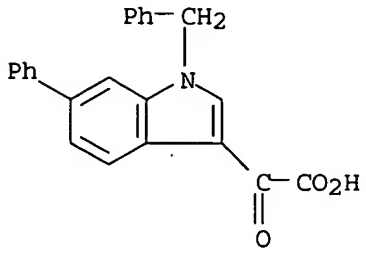
RN 481629-74-7 HCAPLUS
CN 1H-Indole-3-acetic acid, 6-(3-chlorophenyl)-α-oxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



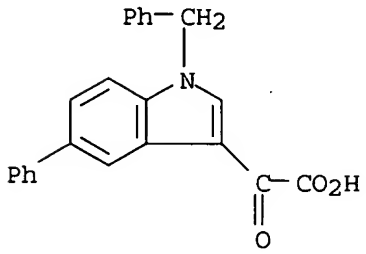
RN 481629-75-8 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-1-(phenylmethyl)-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



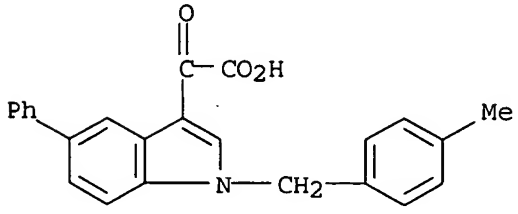
RN 481629-76-9 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-6-phenyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



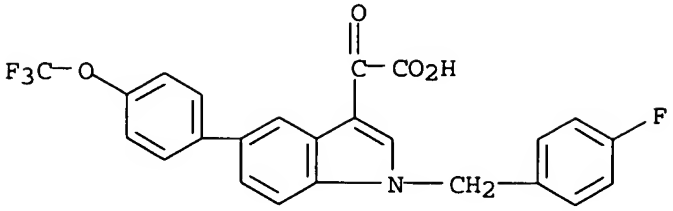
RN 481629-77-0 HCAPLUS
CN 1H-Indole-3-acetic acid, α-oxo-5-phenyl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



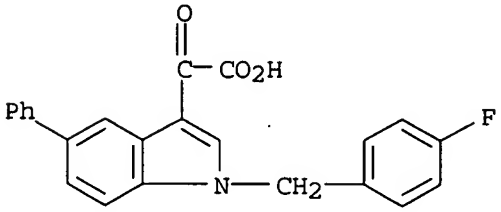
RN 481629-78-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[(4-methylphenyl)methyl]-α-oxo-5-phenyl- (9CI) (CA INDEX NAME)



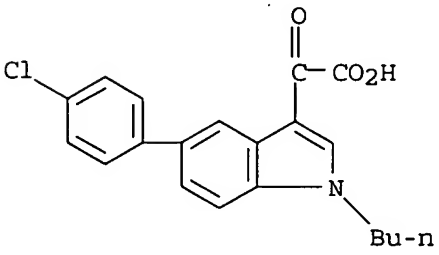
RN 481629-80-5 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[(4-fluorophenyl)methyl]-α-oxo-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



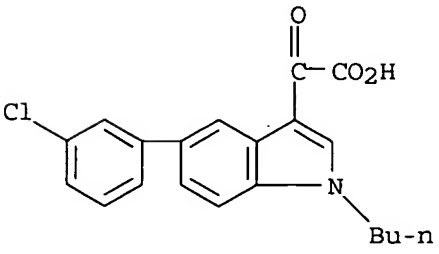
RN 481629-81-6 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[(4-fluorophenyl)methyl]-α-oxo-5-phenyl- (9CI) (CA INDEX NAME)



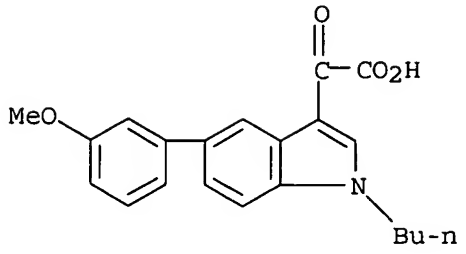
RN 481629-82-7 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-butyl-5-(4-chlorophenyl)-α-oxo- (9CI) (CA INDEX NAME)



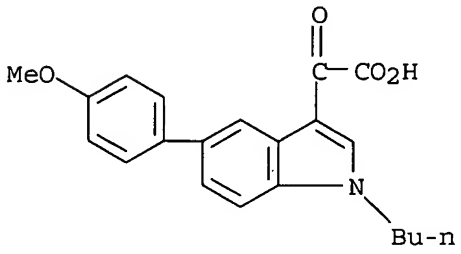
RN 481629-83-8 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-butyl-5-(3-chlorophenyl)-α-oxo- (9CI) (CA INDEX NAME)



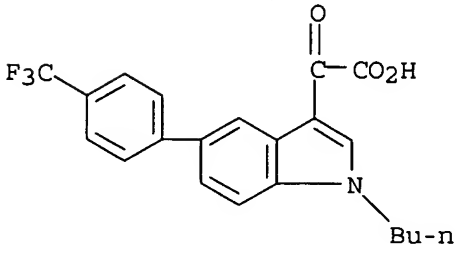
RN 481629-84-9 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-butyl-5-(3-methoxyphenyl)-α-oxo- (9CI) (CA INDEX NAME)



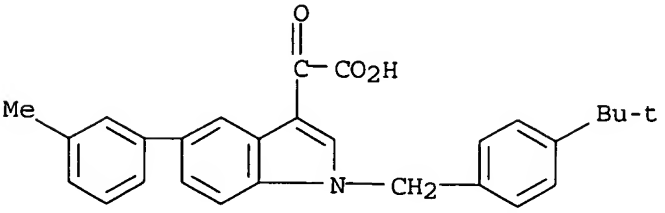
RN 481629-85-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-butyl-5-(4-methoxyphenyl)-α-oxo- (9CI) (CA INDEX NAME)



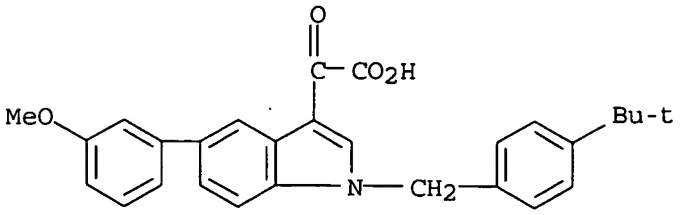
RN 481629-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-butyl-α-oxo-5-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



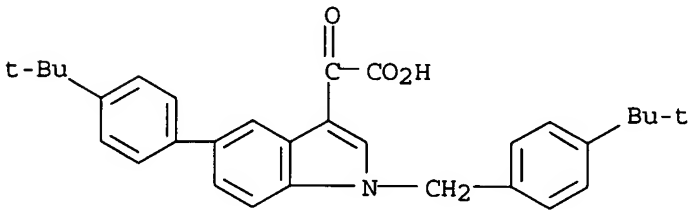
RN 481629-87-2 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]-5-(3-methylphenyl)-α-oxo- (9CI) (CA INDEX NAME)



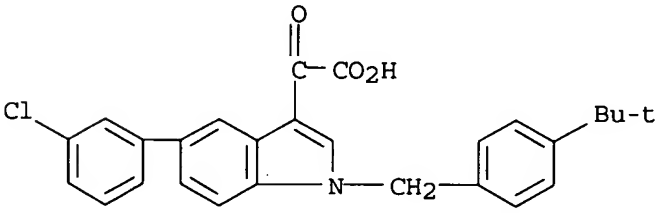
RN 481629-88-3 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]-5-(3-methoxyphenyl)-α-oxo- (9CI) (CA INDEX NAME)



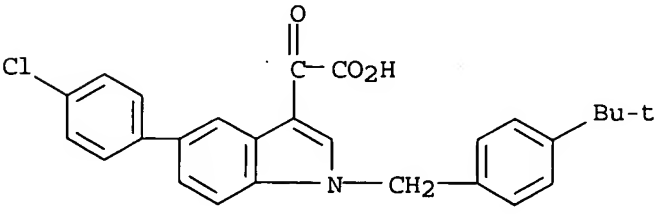
RN 481629-89-4 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-[4-(1,1-dimethylethyl)phenyl]-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-α-oxo- (9CI) (CA INDEX NAME)



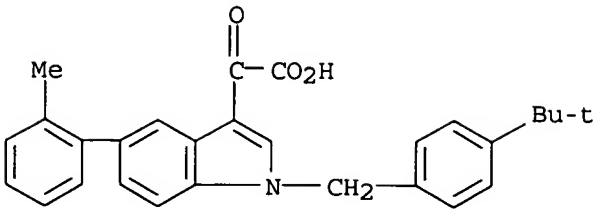
RN 481629-90-7 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-(3-chlorophenyl)-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-α-oxo- (9CI) (CA INDEX NAME)



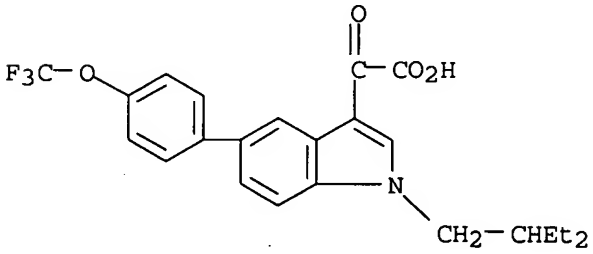
RN 481629-91-8 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-(4-chlorophenyl)-1-[[4-(1,1-dimethylethyl)phenyl]methyl]-α-oxo- (9CI) (CA INDEX NAME)



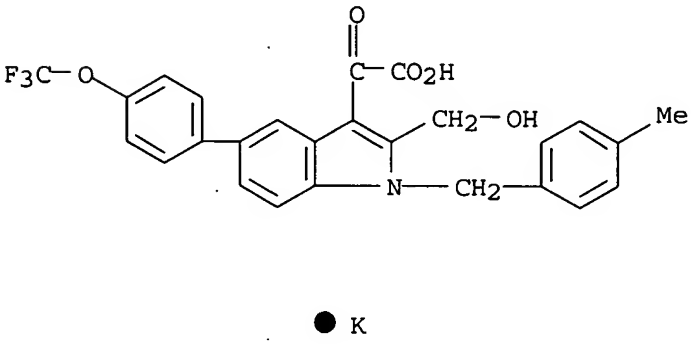
RN 481629-92-9 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-[[4-(1,1-dimethylethyl)phenyl]methyl]-5-(2-methylphenyl)-α-oxo- (9CI) (CA INDEX NAME)



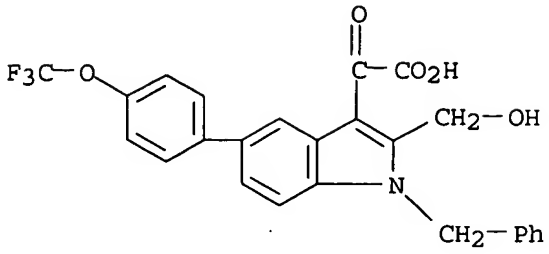
RN 481629-93-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(2-ethylbutyl)-α-oxo-5-[4-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)



RN 481629-95-2 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-(hydroxymethyl)-1-[(4-methylphenyl)methyl]-α-oxo-5-[4-(trifluoromethoxy)phenyl]-, monopotassium salt (9CI) (CA INDEX NAME)



RN 481629-97-4 HCAPLUS
CN 1H-Indole-3-acetic acid, 2-(hydroxymethyl)-α-oxo-1-(phenylmethyl)-5-[4-(trifluoromethoxy)phenyl]-, monopotassium salt (9CI) (CA INDEX NAME)



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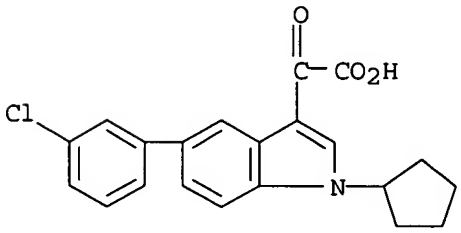
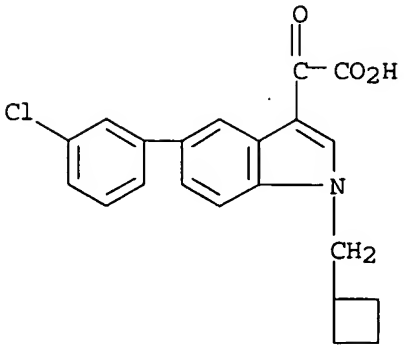
RN 481629-98-5 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-(3-chlorophenyl)-1-cyclopentyl-α-oxo- (9CI) (CA INDEX NAME)



RN 481629-99-6 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-(3-chlorophenyl)-1-(cyclobutylmethyl)-α-oxo- (9CI) (CA INDEX NAME)



RN 481630-00-6 HCAPLUS
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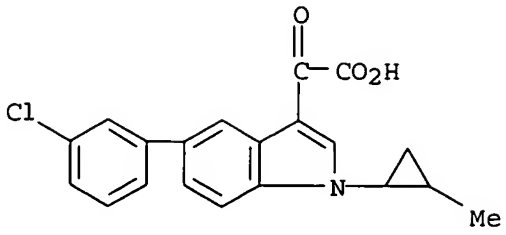
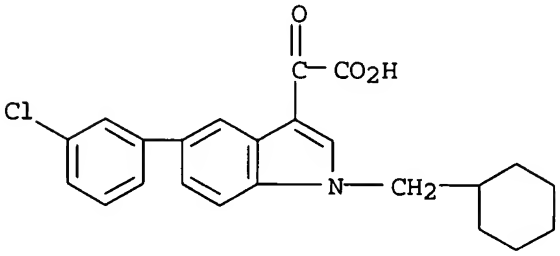


RN 481630-01-7 HCAPLUS
CN 1H-Indole-3-acetic acid, 5-(3-chlorophenyl)-1-(cyclohexylmethyl)-α-oxo- (9CI) (CA INDEX NAME)



RN 481630-02-8 HCAPLUS
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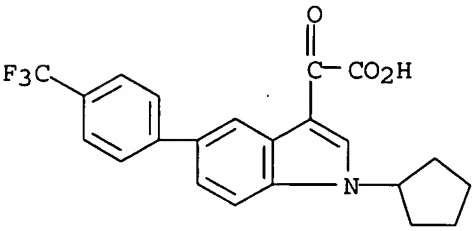
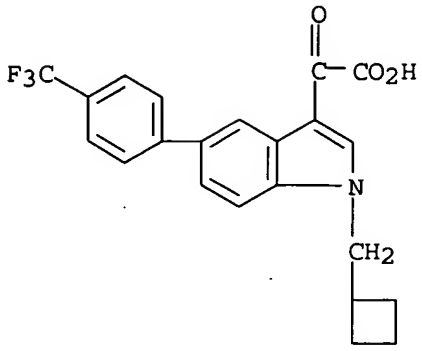
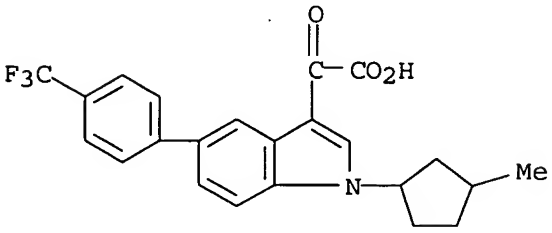


RN 481630-03-9 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(cyclobutylmethyl)-α-oxo-5-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 481630-04-0 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(3-methylcyclopentyl)-α-oxo-5-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 481630-05-1 HCAPLUS
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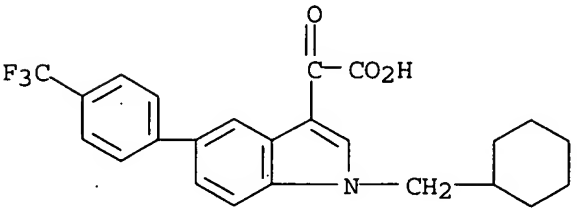

RN 481630-06-2 HCAPLUS
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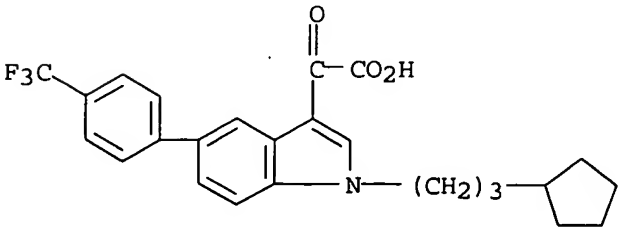
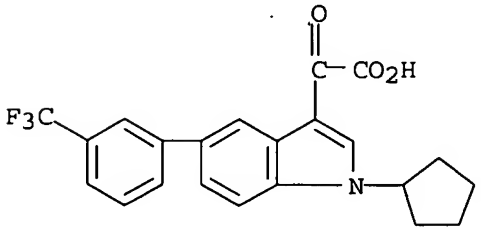


RN 481630-07-3 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-cyclopentyl-α-oxo-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 481630-08-4 HCAPLUS
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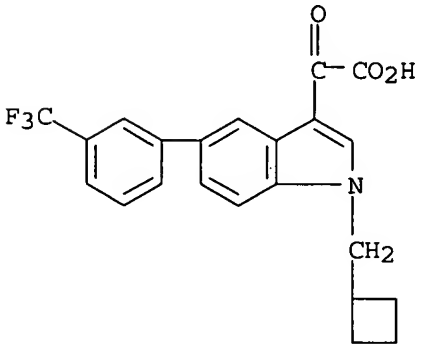
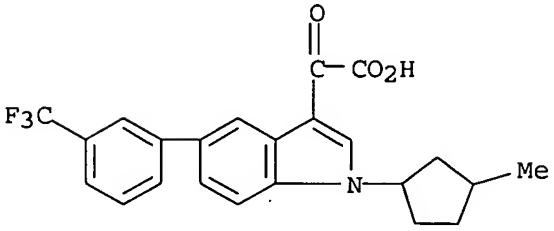
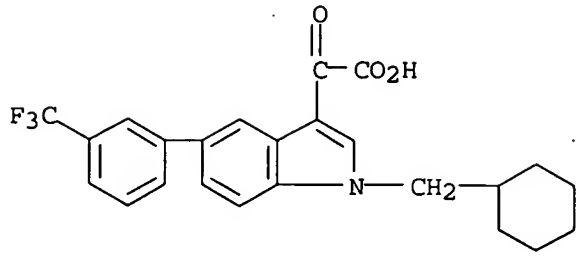


RN 481630-09-5 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(3-methylcyclopentyl)-α-oxo-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 481630-10-8 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(cyclohexylmethyl)-α-oxo-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 481630-11-9 HCAPLUS
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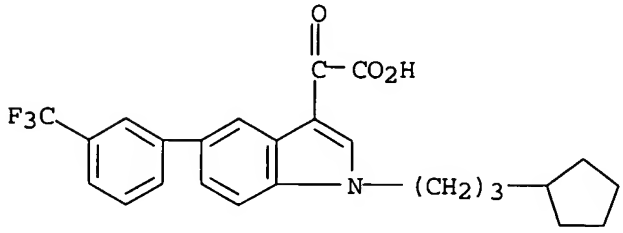

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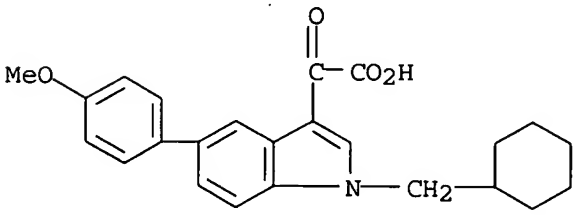

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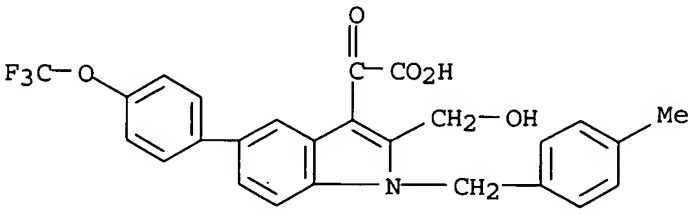

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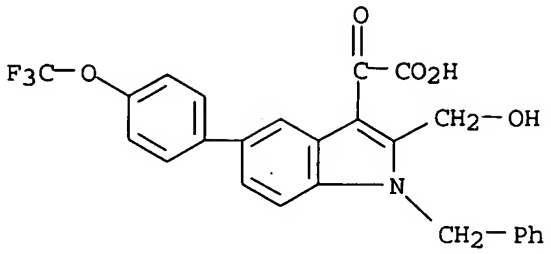

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